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(54) Substituted triazoles, imidazoles and pyrazoles as herbicides

(57) The present invention relates to novel heterocyclic compounds and their agronomically suitable salts, methods for the use of these compounds in controlling unwanted plant species, and the use of herbicidal compositions containing these compounds. In particular, the present invention pertains to substituted and unsubstituted triazoles, imidazoles and pyrazoles linked to a heterocyclic substituted benzene group. Such compounds are useful as pre-emergent and post-emergent herbicides.

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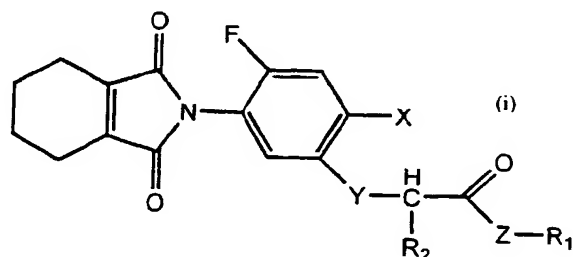
Description

[0001] The present invention relates to novel heterocyclic compounds and their agronomically suitable salts, methods for the use of these compounds in controlling unwanted plant species, and the use of herbicidal compositions containing these compounds.

[0002] The presence of unwanted plant species causes substantial damage to useful crops, especially agricultural products that satisfy the human being's basic food and fiber needs, such as cotton, rice, corn, wheat, soybean. The current population explosion and concomitant world food and fiber shortage demand improvements in efficiency of producing these crops. Prevention or minimizing loss of a portion of such valuable crops by killing, or inhibiting the growth of unwanted plant species is one way of improving this efficiency. Though many herbicides are available, the need still exists for more effective herbicides.

[0003] The compounds of the present invention in general show a usefully improved level of crop safety on soybean, corn or wheat than the known compounds.

[0004] EP 0 083 055 A2, published July 6, 1983, discloses herbicidal compounds of the following formula (i)



wherein

R₁ is hydrogen, alkyl, lower cycloalkyl, lower alkyl(lower)cycloalkyl, lowercycloalkyl(lower)alkyl, lower alkoxy(lower)alkyl, lower alkenyl, lower cycloalkenyl, lower cycloalkenyl(lower)alkyl, phenyl, cyano(lower)alkyl, lower alkynyl, lower alkylideneamino, lower alkylthio(lower)alkyl, benzyl, halo(lower)alkyl or lower cycloalkylideneamino;

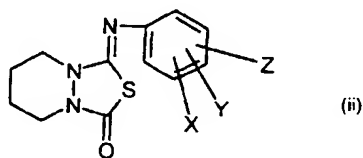
R₂ is hydrogen, lower alkyl, lower alkoxy;

X is chlorine or bromine;

Y is oxygen or imino; and

Z is oxygen or sulfur.

[0005] EP 0 273 417 A1 published July 6, 1988 discloses the herbicidal compounds having the formula (ii)

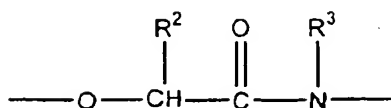


wherein

each of X and Y is hydrogen or halogen;

Z is SCH(R)COOR¹;

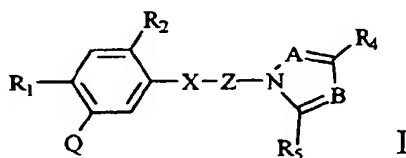
R is hydrogen, alkyl, and R¹ is alkyl, cycloalkyl, or alkoxyalkyl, or COOQ wherein Q is alkyl, or Y and Z together form



bonded to phenyl ring, wherein R^2 is H or alkyl, and R^3 is alkyl, alkenyl, or alkynyl.

[0006] The present invention relates to novel herbicidal compounds and methods for their use in controlling unwanted plant species and their use in herbicidal compositions in agriculture. In particular, the present invention pertains to substituted and unsubstituted triazoles, imidazoles and pyrazoles linked to a heterocyclic substituted benzene group.

[0007] It has now been found that certain triazoles, imidazoles and pyrazoles linked to a heterocyclic substituted benzene group are useful as pre-emergent and post-emergent herbicides. These novel compounds are represented by formula I



wherein

- R_1 is selected from H, F, Br, Cl, NO_2 and CN;
 R_2 is selected from F, Cl, Br, H and CN;
 R_3 is selected from H and CN; and alkyl, alkenyl, alkynyl, haloalkyl, cycloalkyl, cycloalkenyl, haloalkenyl, haloalkynyl, alkoxy, alkylthio, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, aryl, arylalkyl, heteroaryl and heteroarylalkyl, all of which may be further substituted;
 R_4 and R_5 are each independently selected from H, halo and CN; and alkyl, cycloalkyl, haloalkyl, alkoxy, alkylthio, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, CO_2R_6 , CONR_6R_{13} , OR_6 , SR_6 , SO_2R_6 , NR_6R_{13} , $\text{SO}_2\text{NR}_6R_{13}$, aryl, arylalkyl, heteroaryl and heteroarylalkyl, all of which may be further substituted;
 R_6 is selected from H, alkyl, cycloalkyl, alkoxy, alkylthio, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, aryl and arylalkyl, all of which may be further substituted;
 R_7 is selected from H, alkyl, alkenyl, alkynyl, haloalkyl, cycloalkyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl and COR_9 , all of which may be further substituted;
 R_8 is selected from alkyl, haloalkyl, cycloalkyl, cycloalkenyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, aryl and arylalkyl, all of which may be further substituted;
 R_9 is selected from H, alkyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, alkenyl, alkynyl, haloalkyl and cycloalkyl, all of which may be further substituted;
 R_{10} is selected from H, halo, NH_2 , alkyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, haloalkyl, CN, $\text{CO}_2(\text{alkyl})$, $\text{CONH}(\text{alkyl})$, $\text{CON}(\text{alkyl})_2$ wherein each alkyl may be the same or different, CH_2CN , $\text{CH}_2\text{CH}=\text{CH}_2$, $\text{CH}_2\text{C}\equiv\text{CH}$, $\text{CH}_2\text{CO}_2(\text{alkyl})$, CH_2OCH_3 and CH_2 -1,2,4-triazole, all of which may be further substituted;
 R_{11} is selected from H, CN, alkyl, haloalkyl and $\text{CO}_2(\text{alkyl})$;
 R_{12} is selected from H, alkyl, CO_2R_6 , CONR_6R_{13} , OR_6 , SR_6 , SO_2R_6 , $\text{SO}_2\text{NR}_6R_{13}$ and NR_6R_{13} ;
 R_{13} is H, alkyl, aryl or arylalkyl;
A is N or CH;
B is N or CR_{10} ;
Z is O, $\text{CH}(\text{R}_3)$, CO, CS, CONR_{12} or CSNR_{12} ;
X is selected from O, S, NR_{12} , CO_2 , $\text{OCH}(\text{R}_6)\text{CO}_2$, $\text{SCH}(\text{R}_6)\text{CO}_2$, $\text{CH}=\text{C}(\text{halo})\text{CO}_2$, $\text{CH}_2\text{CH}(\text{halo})\text{CO}_2$, CONH , $\text{OCH}(\text{R}_6)\text{CONH}$, $\text{SCH}(\text{R}_6)\text{CONH}$, $\text{CH}=\text{C}(\text{halo})\text{CONH}$ and $\text{CH}_2\text{CH}(\text{halo})\text{CONH}$ when Z is $\text{CH}(\text{R}_3)$;
X is selected from CO, $\text{OCH}(\text{R}_6)\text{CO}$, $\text{SCH}(\text{R}_6)\text{CO}$, $\text{CH}=\text{C}(\text{halo})\text{CO}$ and $\text{CH}_2\text{CH}(\text{halo})\text{CO}$ when Z is O;

X is selected from O, S, CO, OCH(R₆), CH=C(halo), CH₂CH(halo), CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(halo)CONH, CH₂CH(halo)CONH and NR₁₂ when Z is CO, CS, CONR₁₂ or CSNR₁₂; and

Q is selected from NR₇COR₈, Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16 wherein

Q1 is 4,5,6,7-tetrahydrophthalimid-2-yl,

Q2 is 5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one-1-yl,

Q3 is 5,6,7,8-tetrahydro-1H,3H-[1,3,4]thiadiazolo[3,5-a]pyridazineimin-1-yl,

Q4 is 4,5,6,7-tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione-2-yl,

Q5 is 1,6,8-triazabicyclo[4,3,0]-nonane-7,9-dione-8-yl,

Q6 is 5-(1-methylethylidene)-2,4-oxazolidinedione-3-yl,

Q7 is 5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2(3H)-one-3-yl,

Q8 is 4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one-1-yl,

Q9 is 2-methyl-1,2,4-oxathazolidine-3,5-dione-4-yl,

Q10 is 4-chloro-1-methyl-5-difluoromethoxy-1H-pyrazol-3-yl,

Q11 is 4-bromo-1-methyl-5-trifluoromethyl-1H-pyrazol-3-yl,

Q12 is 1-substituted-6-trifluoromethyl-2,4-pyrimidione-3-yl,

Q13 is 1-substituted-6-trifluoromethyl-1,3,5-triazine-2,4-dione-1-yl,

Q14 is 4,5-disubstituted-4,5-dihydro-1,2,4-triazine-3(2H)-one-2-yl,

Q15 is 4-substituted-1,2,4-triazine-3,5(2H,4H)-dione-2-yl and

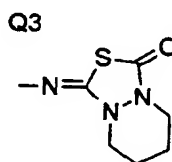
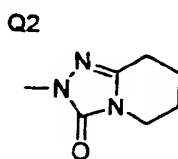
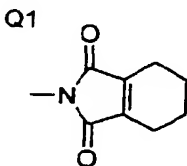
Q16 is 5-methyl-6-oxo-4-(trifluoromethyl)-6H-pyridazin-1-yl;

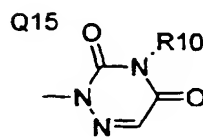
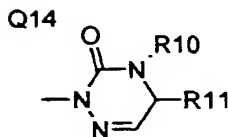
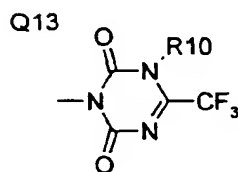
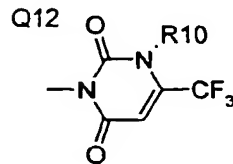
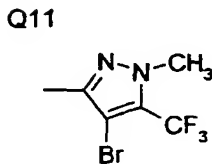
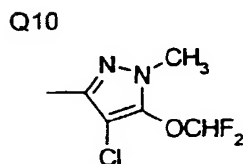
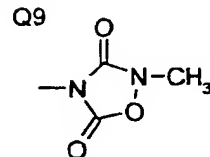
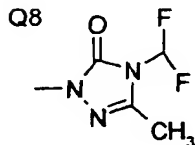
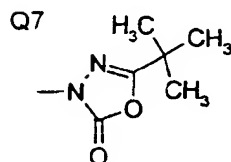
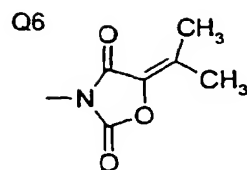
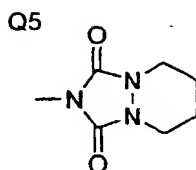
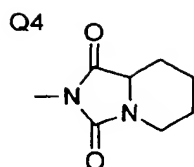
or the agronomically acceptable salts thereof.

[0008] As used in the present invention, the term "aryl" is defined as a monocyclic or polycyclic ring selected from benzene, naphthalene, indene, anthracene, indacene, fluorene, acenaphthalene, phenanthrene and azulene.

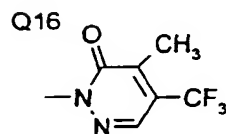
[0009] "Heteroaryl" is defined as a monocyclic or polycyclic ring selected from furan, thiophene, pyrrole, isoxazole, oxazole, isothiazole, thiazole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, tetrazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,3,4-thiadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,3,4-thiadiazole, pyridine, pyridazine, pyrimidine, pyrazine, 1,3,5-triazine, 1,2,4-triazine, 1,2,4,5-tetrazine, benzofuran, benzothiophene, indole, benzisoxazole, benzoxazole, benzisothiazole, benzothiazole, benzopyrazole, benzimidazole, benzotriazole, 1,2-methylenedioxybenzene, 1,2-ethylenedioxybenzene, quinoline and isoquinoline.

[0010] The structures of the "Q" heterocyclic groups previously named are





and



where R_{10} and R_{11} are as previously defined.

[0011] The term "alkyl" includes both branched and straight chain alkyl groups. Typical alkyl groups are methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, *tert*-butyl, *n*-pentyl, isopentyl, *n*-hexyl, *n*-heptyl, isooctyl, nonyl, decyl, undecyl, dodecyl and the like.

[0012] The term "cycloalkyl" refers to a cyclic aliphatic ring structure such as cyclopropane, cyclobutane, cyclopentane, cyclohexane, cycloheptane, cyclooctane and the like.

[0013] The term "haloalkyl" refers to an alkyl group substituted with one or more halo groups.

[0014] The term "halo" refers to fluoro, chloro, bromo or iodo.

[0015] The term "alkylsulfonylalkyl" refers to an alkyl group substituted with an alkylsulfonyl (alkyl-SO₂) group, for example methylsulfonylmethyl.

[0016] The term "alkylsulfinylalkyl" refers to an alkyl group substituted with an alkylsulfinyl (alkyl-SO) group, for example methylsulfinylmethyl.

[0017] The term "alkenyl" refers to an ethylenically unsaturated hydrocarbon group, straight or branched, having 1 or 2 ethylenic bonds.

[0018] The term "cycloalkenyl" refers to a cyclic aliphatic ring structure having 1 or 2 ethylenic bonds such as cyclopentene, cyclohexene, 1,4-cyclohexadiene and the like.

[0019] The term "haloalkenyl" refers to an alkenyl group substituted with one or more halo groups.

[0020] The term "alkynyl" refers to an unsaturated hydrocarbon group, straight or branched, having 1 or 2 acetylenic bonds.

[0021] The term "arylalkyl" is used to describe a group wherein the alkyl chain can be branched or straight chain with the aryl portion, as defined above, forming a terminal portion of the arylalkyl moiety.

[0022] The term "heteroarylalkyl" is used to describe a group wherein the alkyl chain can be branched or straight chain with the heteroaryl portion, as defined above, forming a terminal portion of the heteroarylalkyl moiety.

[0023] The term "alkoxy" includes both branched and straight chain alkyl groups attached to a terminal oxygen atom. Typical alkoxy groups include methoxy, ethoxy, *n*-propoxy, isopropoxy, *tert*-butoxy and the like.

[0024] The term "haloalkoxy" refers to an alkoxy group substituted with one or more halo groups.

[0025] The term "alkylthio" includes both branched and straight chain alkyl groups attached to a terminal sulfur atom.

[0026] The term "haloalkylthio" refers to an alkylthio group substituted with one or more halo groups.

[0027] Acceptable acids that may form salts of the compounds of the present invention can be selected from hydrochloric acid, hydrobromic acid, hydroiodic acid, sulfuric acid, nitric acid, phosphoric acid, oxalic acid, acetic acid, propionic acid, glycolic acid, methanesulfonic acid, toluenesulfonic acid, benzenesulfonic acid, (C₂-C₂₀)alkylbenzenesulfonic acid, sodium hydrogen sulfate and methyl hydrogen sulfate.

[0028] Other agronomically acceptable salts may be formed by complexation of the compounds of the current invention with metal salts such as zinc chloride or iron chloride.

[0029] A preferred embodiment of this invention are the compounds of formula I wherein

- 15 R₁ is selected from H, F, Br, Cl, NO₂ and CN;
 R₂ is selected from F, Cl, Br, H and CN;
 R₃ is selected from H, CN and halo; and (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl, all of which may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxalan-2-yl and nitro;
- 20 R₄ and R₅ are each independently selected from H, halo and CN; and (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, CO₂R₆, CONHR₆, CON((C₁-C₁₂)alkyl)R₆, OR₆, SR₆, SO₂R₆, NRR₆, N((C₁-C₁₂)alkyl)R₆, SO₂N((C₁-C₁₂)alkyl)R₆, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl, all of which may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxalan-2-yl and nitro;
- 25 R₆ is selected from H, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl and aryl(C₁-C₁₂)alkyl;
- 30 R₇ is selected from H, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl and aryl(C₁-C₁₂)alkyl;
- 35 R₈ is selected from (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, cyclo(C₃-C₈)alkenyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl and COR₉;
- 40 R₉ is selected from (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, cyclo(C₃-C₈)alkenyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl and aryl(C₁-C₁₂)alkyl;
- 45 R₁₀ is selected from H, (C₁-C₁₂)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio and halocyclo(C₃-C₈)alkyl;
- 50 R₁₀ is selected from H, chloro, NH₂, (C₁-C₁₂)alkyl, halo(C₁-C₁₂)alkyl, CN, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, CO₂(C₁-C₁₂)alkyl, CONH(C₁-C₁₂)alkyl, CON((C₁-C₁₂)alkyl)₂,
- 55 R₁₀

- CH₂CN, CH₂CH=CH₂, CH₂C≡CH, CH₂CO₂(C₁-C₁₂)alkyl, CH₂OCH₃, CH₂-1,2,4-triazole;
- R₁₁ is selected from H, CN, (C₁-C₁₂)alkyl, halo(C₁-C₁₂)alkyl and CO₂(C₁-C₁₂)alkyl;
- R₁₂ is selected from H, (C₁-C₁₂)alkyl, CO₂R₆, CON((C₁-C₁₂)alkyl)R₆, OR₆, SR₆, SO₂R₆, SO₂N((C₁-C₁₂)alkyl)R₁₃ and N((C₁-C₁₂)alkyl)R₁₃;
- 5 R₁₃ is H, (C₁-C₁₂)alkyl, aryl or aryl(C₁-C₁₂)alkyl;
- A is N or CH;
- B is N or CR₁₀;
- Z is O, CH(R₃), CO, CS, CONR₁₂ or CSNR₁₂;
- 10 X is selected from O, S, NR₁₂, CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH=C(Cl)CO₂, CH₂CH(Cl)CO₂, CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH and CH₂CH(Cl)CONH when Z is CH(R₃);
- X is selected from CO, OCH(R₆)CO, SCH(R₆)CO, CH=C(Cl)CO, CH₂CH(Cl)CO when Z is O;
- X is selected from O, S, CO, OCH(R₆), CH-C(Cl), CH₂CH(Cl), CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH, CH₂CH(Cl)CONH and NR₁₂ when Z is CO, CS, CONR₁₂ or CSNR₁₂;
- 15 Q is selected from NR₇COR₈, Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16;

or the agronomically acceptable salts thereof.

[0030] A more preferred embodiment of this invention are the compounds of formula I wherein

- 20 R₁ is H, F or Cl;
- R₂ is Cl;
- R₃ is selected from H, bromo, chloro, fluoro, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, (C₂-C₆)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₆)alkynyl, halo(C₁-C₆)alkyl, halo(C₂-C₆)alkenyl, halo(C₂-C₆)alkynyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl wherein the aryl or heteroaryl group is selected from furan, naphthalene, phenyl, pyrazole, pyridine, pyrimidine, thiophene and triazole, said aryl and heteroaryl group may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxolan-2-yl and nitro;
- 25 R₄ and R₅ are each independently selected from H, bromo, chloro, fluoro, CN, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, CO₂R₆, CONHR₆, CON((C₁-C₁₂)alkyl)R₆, OR₆, SR₆, SO₂R₆, NHR₆, N((C₁-C₁₂)alkyl)R₆, SO₂N((C₁-C₁₂)alkyl)R₆, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl, wherein the aryl or heteroaryl group is selected from furan, naphthalene, phenyl, pyrazole, pyridine, pyrimidine, thiophene and triazole, said aryl and heteroaryl group may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxolan-2-yl and nitro;
- 30 R₆ is selected from H, (C₁-C₁₂)alkyl, aryl and aryl(C₁-C₆)alkyl, where the aryl group is naphthyl or phenyl;
- R₇ is selected from H, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl and COR₉;
- R₈ is selected from (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, cyclo(C₃-C₈)alkenyl, halo(C₁-C₁₂)alkyl, aryl and aryl(C₁-C₆)alkyl;
- 35 R₉ is selected from H, (C₁-C₆)alkyl, (C₂-C₁₂)alkenyl, (C₂-C₆)alkenyl, cyclo(C₃-C₈)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₁₂)alkyl, halo(C₁-C₆)alkyl;
- R₁₀ is selected from H, chloro, NH₂, (C₁-C₆)alkyl, halo(C₁-C₁₂)alkyl, halo(C₁-C₆)alkyl, CN, CO₂(C₁-C₁₂)alkyl, CONH(C₁-C₁₂)alkyl, CON((C₁-C₁₂)alkyl)₂, CH₂CN, CH₂CH=CH₂, CH₂C≡CH, CH₂CO₂(C₁-C₁₂)alkyl, CH₂OCH₃, CH₂-1,2,4-triazole;
- 40 R₁₁ is selected from H, CN, (C₁-C₆)alkyl, halo(C₁-C₁₂)alkyl, halo(C₁-C₆)alkyl and CO₂(C₁-C₁₂)alkyl;
- R₁₂ is selected from H, (C₁-C₆)alkyl, CO₂R₆, CON((C₁-C₆)alkyl)R₆, OR₆, SR₆, SO₂R₆, SO₂N((C₁-C₆)alkyl)R₁₃ and N((C₁-C₆)alkyl)R₁₃;
- R₁₃ is H, (C₁-C₆)alkyl, aryl or aryl(C₁-C₆)alkyl where the aryl group is naphthyl or phenyl;
- 45 A is N or CH;
- 50 B is N or CR₁₀;
- Z is O, CH(R₃), CO, CS, CONR₁₂ or CSNR₁₂;
- X is selected from O, S, NH, CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH=C(Cl)CO₂, CH₂CH(Cl)CO₂, CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH and CH₂CH(Cl)CONH when Z is CH(R₃);

X is selected from CO, OCH(R₆)CO, SCH(R₆)CO, CH=C(Cl)CO and CH₂CH(Cl)CO when Z is O;
 X is selected from O, S, CO, OCH(R₆), CH=C(Cl), CH₂CH(Cl), CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH, CH₂CH(Cl)CONH and NR₁₂ when Z is CO, CS, CONR₁₂ or CSNR₁₂;
 Q is NR₇COR₈, or selected from Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16;

or the agronomically acceptable salts thereof selected from those formed from hydrochloric acid, sulfuric acid, acetic acid, propionic acid, phosphoric acid and oxalic acid.

[0031] An even more preferred embodiment of this invention are the compounds of formula I wherein

R₁ is H, F or Cl;

R₂ is Cl;

R₃ is selected from H, bromo, chloro, fluoro, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, (C₂-C₆)alkenyl, cyclo(C₃-C₆)alkenyl, (C₂-C₆)alkynyl, halo(C₁-C₆)alkyl, halo(C₂-C₆)alkenyl, halo(C₂-C₆)alkynyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, 3-furyl, 4-chloro-2-furyl, 5-chloro-2-furyl, 5-chloro-3-furyl, 2,5-dichloro-3-furyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-dioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl, 3,4,5-trifluorophenyl, 2-pyridyl, 4-chloro-2-pyridyl, 6-chloro-2-pyridyl, 4,6-dichloro-2-pyridyl, 3-pyridyl, 5-bromo-3-pyridyl, 5,6-dichloro-3-pyridyl, 5-chloro-3-pyridyl, 5-fluoro-3-pyridyl, 4-pyridyl, 2-fluoro-4-pyridyl, 2-chloro-4-pyridyl, 2-chloro-6-methyl-4-pyridyl, 2-methyl-4-pyridyl, 2-methoxy-4-pyridyl, 2-cyano-4-pyridyl, 2,6-difluoro-4-pyridyl, 2,6-dichloro-4-pyridyl, 2-thienyl, 3-thienyl, 4-chloro-2-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl and 2,5-dichloro-3-thienyl;

R₁ and R₅ are each independently selected from H, bromo, chloro, fluoro, CN, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, CO₂R₆, CONHR₆, CON((C₁-C₆)alkyl)R₆, OR₆, SR₆, SO₂R₆, NHR₆, 3-furyl, 4-chloro-2-furyl, 5-chloro-2-furyl, 5-chloro-3-furyl, 2,5-dichloro-3-furyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-dioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl, 3,4,5-trifluorophenyl, 2-pyridyl, 4-chloro-2-pyridyl, 6-chloro-2-pyridyl, 4,6-dichloro-2-pyridyl, 3-pyridyl, 5-bromo-3-pyridyl, 5,6-dichloro-3-pyridyl, 5-chloro-3-pyridyl, 5-fluoro-3-pyridyl, 4-pyridyl, 2-fluoro-4-pyridyl, 2-chloro-4-pyridyl, 2-chloro-6-methyl-4-pyridyl, 2-methyl-4-pyridyl, 2-methoxy-4-pyridyl, 2-cyano-4-pyridyl, 2,6-difluoro-4-pyridyl, 2,6-dichloro-4-pyridyl, 2-thienyl, 3-thienyl, 4-chloro-2-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl and 2,5-dichloro-3-thienyl;

R₆ is selected from H, (C₁-C₆)alkyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-methoxyphenyl, 4-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-thioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl and 3,4,5-trifluorophenyl;

R₇ is selected from H, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₆)alkyl and COR₉;

R₈ is (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₁₂)alkyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-dioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl and 3,4,5-trifluorophenyl;

R₉ is selected from H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, cyclo(C₅-C₆)alkyl and halo(C₁-C₆)alkyl;

R₁₀ is selected from H, chloro, NH₂, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, CN, CO₂(C₁-C₆)alkyl, CONH(C₁-C₆)alkyl, CON((C₁-C₆)alkyl)₂, CH₂CN, CH₂CH=CH₂, CH₂C≡CH, CH₂CO₂(C₁-C₆)alkyl, CH₂OCH₃ and CH₂-1,2,4-triazole;

R₁₁ is H, CN, (C₁-C₆)alkyl, halo(C₁-C₆)alkyl and CO₂(C₁-C₆)alkyl;

R₁₂ is selected from H, (C₁-C₈)alkyl, CO₂(C₁-C₆)alkyl, CON((C₁-C₆)alkyl)₂, O(C₁-C₆)alkyl, S(C₁-C₆)alkyl, SO₂(C₁-C₆)alkyl, SO₂N((C₁-C₆)alkyl)₂ and N((C₁-C₆)alkyl)₂;

R₁₃ is H, (C₁-C₆)alkyl, aryl or aryl(C₁-C₄)alkyl where the aryl group is naphthyl or phenyl;

A is N or CH;

- B is N or CR₁₀;
 Z is O, CH(R₃), CO, CS, CONR₁₂ or CSNR₁₂;
 X is selected from O, S, NH, CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH=C(Cl)CO₂, CH₂CH(Cl)CO₂, CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH and CH₂CH(Cl)CONH when Z is CH(R₃);
 5 X is selected from CO, OCH(R₆)CO, SCH(R₆)CO, CH-C(Cl)CO and CH₂CH(Cl)CO when Z is O;
 X is selected from O, S, CO, OCH(R₆), CH=C(Cl), CH₂CH(Cl), CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH, CH₂CH(Cl)CONH and NR₁₂ when Z is CO, CS, CONR₁₂ or CSNR₁₂;
 Q is selected from Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16;

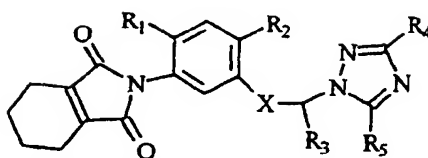
10 or the agronomically acceptable salts thereof selected from those formed from hydrochloric acid, acetic acid, phosphoric acid and oxalic acid.

[0032] A second aspect of this invention relates to herbicidal compositions comprising a compound of formula I and an agronomically acceptable carrier.

[0033] A third aspect of this invention relates to a method of controlling a weed comprising applying a herbicidally effective amount of a composition comprising a compound of formula I and an agronomically acceptable carrier to the weed, to the locus of the weed or to the growth medium of said weed.

[0034] The following examples of the compounds of formula I are presented in Tables 1-25 and are representative of the invention. In these tables, the abbreviation "Ph" is used to mean phenyl, "Ph-4-Cl" is used to mean 4-chlorophenyl, "Ph-4-F" is used to mean 4-fluorophenyl, "CH₂Ph" is used to mean benzyl, "CH₂Ph-4-Cl" is used to mean 4-chlorobenzyl, "3-Py" is used to mean 3-pyridyl, "Me" is used to mean methyl and "Et" is used to mean ethyl.

Table 1



where A=B=N, Q=Q1 in a compound of formula I

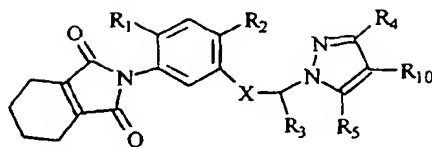
No	R ₁	R ₂	X	R ₃	R ₄	R ₅
1	F	Cl	CO ₂	H	H	H
2	F	Cl	CO ₂	CH ₃	H	H
3	F	Cl	CO ₂	C ₂ H ₅	H	H
4	F	Cl	CO ₂	C ₃ H ₇	H	H
5	F	Cl	CO ₂	H	CH ₃	CH ₃
6	F	Cl	CO ₂	CH ₃	Ph	CH ₃
7	F	Cl	CO ₂	C ₂ H ₅	Ph-4-Cl	H
8	F	Cl	CO ₂	H	H	SCH ₃
9	F	Cl	CO ₂	CN	H	H
10	F	Cl	CO ₂	Ph	H	H
11	F	Cl	CO ₂	CH ₂ Ph	H	H
12	F	Cl	CO ₂	Ph-4-Cl	H	H
13	F	Cl	CO ₂	CH ₂ Ph-4-Cl	H	H
14	F	Cl	CO ₂	3-Py	H	H
15	F	Cl	OCH ₂ CO ₂	H	H	H
16	F	Cl	OCH ₂ CO ₂	CH ₃	H	H
17	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	H	H
18	F	Cl	OCH ₂ CO ₂	C ₃ H ₇	H	H
19	F	Cl	OCH ₂ CO ₂	H	CH ₃	CH ₃
20	F	Cl	OCH ₂ CO ₂	CH ₃	Ph	CH ₃
21	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	Ph-4-Cl	H
22	F	Cl	OCH ₂ CO ₂	H	H	SCH ₃
23	F	Cl	OCH ₂ CO ₂	CN	H	H
24	F	Cl	OCH ₂ CO ₂	Ph	H	H
25	F	Cl	OCH ₂ CO ₂	CH ₂ Ph	H	H
26	F	Cl	OCH ₂ CO ₂	Ph-4-Cl	H	H
27	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl	H	H
28	F	Cl	OCH ₂ CO ₂	3-Py	H	H

29	F	Cl	OCH(CH ₃)CO ₂	H	H	H
30	F	Cl	OCH(CH ₃)CO ₂	CH ₃	H	H
31	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	H	H
32	F	Cl	OCH(CH ₃)CO ₂	C ₃ H ₇	H	H
33	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃	CH ₃
34	F	Cl	OCH(CH ₃)CO ₂	CH ₃	Ph	CH ₃
35	F	Cl	CH=C(Cl)CO ₂	H	H	H
36	F	Cl	CH ₂ CH(Cl)CO ₂	H	H	H
37	F	Cl	OCH(CH ₃)CO ₂	CN	H	H
38	F	Cl	OCH(CH ₃)CO ₂	Ph	H	H
39	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph	H	H
40	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl	H	H
41	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl	H	H
42	F	Cl	OCH(CH ₃)CO ₂	3-Py	H	H
43	F	Cl	O	H	H	H
44	F	Cl	O	CH ₃	H	H
45	F	Cl	O	C ₂ H ₅	H	H
46	F	Cl	O	C ₃ H ₇	H	H
47	F	Cl	O	H	CH ₃	CH ₃
48	F	Cl	O	CH ₃	Ph	CH ₃
49	F	Cl	O	C ₂ H ₅	Ph-4-Cl	H
50	F	Cl	O	H	H	SCH ₃
51	F	Cl	O	CN	H	H
52	F	Cl	O	Ph	H	H
53	F	Cl	O	CH ₂ Ph	H	H
54	F	Cl	O	Ph-4-Cl	H	H
55	F	Cl	O	CH ₂ Ph-4-Cl	H	H
56	F	Cl	O	3-Py	H	H
57	F	Cl	SCH ₂ CO ₂	H	H	H
58	F	Cl	SCH ₂ CO ₂	CH ₃	H	H
59	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	H	H
60	F	Cl	SCH ₂ CO ₂	C ₃ H ₇	H	H
61	F	Cl	SCH ₂ CO ₂	H	CH ₃	CH ₃
62	F	Cl	SCH ₂ CO ₂	CH ₃	Ph	CH ₃
63	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	Ph-4-Cl	H
64	F	Cl	SCH ₂ CO ₂	H	H	SCH ₃
65	F	Cl	SCH ₂ CO ₂	CN	H	H
66	F	Cl	SCH ₂ CO ₂	Ph	H	H
67	F	Cl	SCH ₂ CO ₂	CH ₂ Ph	H	H
68	F	Cl	SCH ₂ CO ₂	Ph-4-Cl	H	H
69	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl	H	H
70	F	Cl	SCH ₂ CO ₂	3-Py	H	H
71	F	H	SCH(CH ₃)CO ₂	H	H	H
72	F	Cl	SCH(CH ₃)CO ₂	CH ₃	H	H
73	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	H	H
74	F	Cl	SCH(CH ₃)CO ₂	C ₃ H ₇	H	H
75	F	Cl	SCH(CH ₃)CO ₂	H	CH ₃	CH ₃
76	F	Cl	SCH(CH ₃)CO ₂	CH ₃	Ph	CH ₃

5	77	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	Ph-4-Cl	H
	78	F	Cl	SCH(CH ₃)CO ₂	H	H	SCH ₃
	79	F	Cl	SCH(CH ₃)CO ₂	CN	H	H
	80	F	Cl	SCH(CH ₃)CO ₂	Ph	H	H
	81	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph	H	H
	82	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl	H	H
10	83	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl	H	H
	84	F	Cl	SCH(CH ₃)CO ₂	3-Py	H	H
	85	F	Cl	S	H	H	H
	86	F	Cl	S	CH ₃	H	H
	87	F	Cl	S	C ₂ H ₅	H	H
15	88	F	Cl	S	C ₃ H ₇	H	H
	89	F	Cl	S	H	CH ₃	CH ₃
	90	F	Cl	S	CH ₃	Ph	CH ₃
	91	F	Cl	S	C ₂ H ₅	Ph-4-Cl	H
	92	F	Cl	S	H	H	SCH ₃
20	93	F	Cl	S	CN	H	H
	94	F	Cl	S	Ph	H	H
	95	F	Cl	S	CH ₂ Ph	H	H
	96	F	Cl	S	Ph-4-Cl	H	H
	97	F	Cl	S	CH ₂ Ph-4-Cl	H	H
25	98	F	Cl	S	3-Py	H	H
	99	F	Cl	CH=C(Cl)CO ₂	CN	H	H
	100	F	Cl	CH ₂ CH(Cl)CO ₂	CN	H	H
	101	F	Cl	CH=C(Cl)CO ₂	CH ₃	H	H
30	102	F	Cl	CH ₂ CH(Cl)CO ₂	CH ₃	H	H
	103	Cl	Cl	O	H	H	H
	104	Cl	Cl	OCH ₂ CO ₂	H	H	H
	105	Cl	Cl	OCH(CH ₃)CO ₂	H	H	H
	106	Cl	Cl	CH=C(Cl)CO ₂	H	H	H
35	107	Cl	Cl	CH ₂ CH(Cl)CO ₂	H	H	H
	108	Cl	Cl	CH ₂ CH(Cl)CO ₂	CH ₃	H	H
	109	Cl	Cl	SCH ₂ CO ₂	H	H	H
	110	Cl	Cl	SCH(CH ₃)CO ₂	H	H	H
	111	Cl	Cl	CO ₂	H	H	H
40	112	H	Cl	O	H	H	H
	113	H	Cl	OCH ₂ CO ₂	H	H	H
	114	H	Cl	OCH(CH ₃)CO ₂	H	H	H
	115	H	Cl	CH=C(Cl)CO ₂	H	H	H
45	116	H	Cl	CH ₂ CH(Cl)CO ₂	H	H	H
	117	H	Cl	S	H	H	H
	118	H	Cl	SCH ₂ CO ₂	H	H	H
	119	H	Cl	SCH(CH ₃)CO ₂	H	H	H
	120	H	Cl	CH=C(Cl)CO ₂	H	H	H
50	121	H	Cl	CH ₂ CH(Cl)CO ₂	H	H	H
	122	H	Cl	CO ₂	H	H	H
	123	F	Cl	OCH ₂ CONH	H	H	H
	124	F	Cl	SCH ₂ CONH	H	H	H

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Table 2



where A=N, B=CR₁₀ and Q=Q1 in a compound of formula I

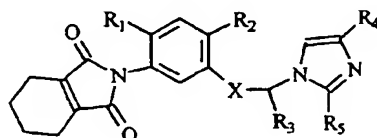
No	R ₁	R ₂	X	R ₃	R ₄	R ₅	R ₁₀
125	F	Cl	CO ₂	H	H	H	H
126	F	Cl	CO ₂	CH ₃	H	H	H
127	F	Cl	CO ₂	C ₂ H ₅	H	H	H
128	F	Cl	CO ₂	H	Cl	Cl	CO ₂ CH ₃
129	F	Cl	CO ₂	H	CH ₃	CH ₃	H
130	F	Cl	CO ₂	CH ₃	Ph	CH ₃	H
131	F	Cl	CO ₂	C ₂ H ₅	Ph-4-Cl	H	H
132	F	Cl	CO ₂	H	C ₂ H ₅	CO ₂ Et	H
133	F	Cl	CO ₂	CN	H	H	H
134	F	Cl	CO ₂	Ph	H	H	H
135	F	Cl	CO ₂	CH ₂ Ph	H	H	H
136	F	Cl	CO ₂	Ph-4-Cl	H	H	H
137	F	Cl	CO ₂	CH ₂ Ph-4-Cl	H	H	H
138	F	Cl	CO ₂	3-Py	H	H	H
139	F	Cl	OCH ₂ CO ₂	H	H	H	H
140	F	Cl	OCH ₂ CO ₂	CH ₃	H	H	H
141	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	H	H	H
142	F	Cl	OCH ₂ CO ₂	H	Cl	Cl	CO ₂ CH ₃
143	F	Cl	OCH ₂ CO ₂	H	CH ₃	CH ₃	H
144	F	Cl	OCH ₂ CO ₂	CH ₃	Ph	CH ₃	H
145	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	Ph-4-Cl	H	H
146	F	Cl	OCH ₂ CO ₂	H	C ₂ H ₅	CO ₂ Et	H
147	F	Cl	OCH ₂ CO ₂	CN	H	H	H
148	F	Cl	OCH ₂ CO ₂	Ph	H	H	H
149	F	Cl	OCH ₂ CO ₂	CH ₂ Ph	H	H	H
150	F	Cl	OCH ₂ CO ₂	Ph-4-Cl	H	H	H
151	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl	H	H	H
152	F	Cl	OCH ₂ CO ₂	3-Py	H	H	H
153	F	Cl	OCH(CH ₃)CO ₂	H	H	H	H
154	F	Cl	OCH(CH ₃)CO ₂	CH ₃	H	H	H
155	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	H	H	H
156	F	Cl	OCH(CH ₃)CO ₂	H	Cl	Cl	CO ₂ CH ₃
157	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃	CH ₃	H
158	F	Cl	OCH(CH ₃)CO ₂	CH ₃	Ph	CH ₃	H
159	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	Ph-4-Cl	H	H
160	F	Cl	OCH(CH ₃)CO ₂	H	C ₂ H ₅	CO ₂ Et	H
161	F	Cl	OCH(CH ₃)CO ₂	CN	H	H	H

5	162	F	Cl	OCH(CH ₃)CO ₂	Ph	H	H	H
	163	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph	H	H	H
	164	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl	H	H	H
	165	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl	H	H	H
	166	F	Cl	OCH(CH ₃)CO ₂	3-Py	H	H	H
	167	F	Cl	O	H	H	H	H
10	168	F	Cl	O	CH ₃	H	H	H
	169	F	Cl	O	C ₂ H ₅	H	H	H
	170	F	Cl	O	H	Cl	Cl	CO ₂ CH ₃
	171	F	Cl	O	H	CH ₃	CH ₃	H
	172	F	Cl	O	CH ₃	Ph	CH ₃	H
15	173	F	Cl	O	C ₂ H ₅	Ph-4-Cl	H	H
	174	F	Cl	O	H	C ₂ H ₅	CO ₂ Et	H
	175	F	Cl	O	CN	H	H	H
	176	F	Cl	O	Ph	H	H	H
	177	F	Cl	O	CH ₂ Ph	H	H	H
20	178	F	Cl	O	Ph-4-Cl	H	H	H
	179	F	Cl	O	CH ₂ Ph-4-Cl	H	H	H
	180	F	Cl	O	3-Py	H	H	H
	181	F	Cl	SCH ₂ CO ₂	H	H	H	H
	182	F	Cl	SCH ₂ CO ₂	CH ₃	H	H	H
25	183	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	H	H	H
	184	F	Cl	SCH ₂ CO ₂	H	Cl	Cl	CO ₂ CH ₃
	185	F	Cl	SCH ₂ CO ₂	H	CH ₃	CH ₃	H
	186	F	Cl	SCH ₂ CO ₂	CH ₃	Ph	CH ₃	H
	187	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	Ph-4-Cl	H	H
30	188	F	Cl	SCH ₂ CO ₂	H	C ₂ H ₅	CO ₂ Et	H
	189	F	Cl	SCH ₂ CO ₂	CN	H	H	H
	190	F	Cl	SCH ₂ CO ₂	Ph	H	H	H
	191	F	Cl	SCH ₂ CO ₂	CH ₂ Ph	H	H	H
35	192	F	Cl	SCH ₂ CO ₂	Ph-4-Cl	H	H	H
	193	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl	H	H	H
	194	F	Cl	SCH ₂ CO ₂	3-Py	H	H	H
	195	F	Cl	SCH(CH ₃)CO ₂	H	H	H	H
	196	F	Cl	SCH(CH ₃)CO ₂	CH ₃	H	H	H
40	197	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	H	H	H
	198	F	Cl	SCH(CH ₃)CO ₂	H	Cl	Cl	CO ₂ CH ₃
	199	F	Cl	SCH(CH ₃)CO ₂	H	CH ₃	CH ₃	H
	200	F	Cl	SCH(CH ₃)CO ₂	CH ₃	Ph	CH ₃	H
45	201	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	Ph-4-Cl	H	H
	202	F	Cl	SCH(CH ₃)CO ₂	H	C ₂ H ₅	CO ₂ Et	H
	203	F	Cl	SCH(CH ₃)CO ₂	CN	H	H	H
	204	F	Cl	SCH(CH ₃)CO ₂	Ph	H	H	H
	205	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph	H	H	H
50	206	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl	H	H	H
	207	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl	H	H	H
	208	F	Cl	SCH(CH ₃)CO ₂	3-Py	H	H	H
	209	F	Cl	S	H	H	H	H

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210	F	Cl	S	CH ₃	H	H	H
211	F	Cl	S	C ₂ H ₅	H	H	H
212	F	Cl	S	H	Cl	Cl	CO ₂ CH ₃
213	F	Cl	S	H	CH ₃	CH ₃	H
214	F	Cl	S	CH ₃	Ph	CH ₃	H
215	F	Cl	S	C ₂ H ₅	Ph-4-Cl	H	H
216	F	Cl	S	H	C ₂ H ₅	CO ₂ Et	H
217	F	Cl	S	CN	H	H	H
218	F	Cl	S	Ph	H	H	H
219	F	Cl	S	CH ₂ Ph	H	H	H
220	F	Cl	S	Ph-4-Cl	H	H	H
221	F	Cl	S	CH ₂ Ph-4-Cl	H	H	H
222	F	Cl	S	3-Py	H	H	H
223	F	Cl	CH=C(Cl)CO ₂	H	H	H	H
224	F	Cl	CH ₂ CH(Cl)CO ₂	H	H	H	H
225	Cl	Cl	O	H	H	H	H
226	Cl	Cl	OCH ₂ CO ₂	H	H	H	H
227	Cl	Cl	S	H	H	H	H
228	Cl	Cl	SCH ₂ CO ₂	H	H	H	H
229	Cl	Cl	SCH(CH ₃)CO ₂	H	H	H	H
230	Cl	Cl	CO ₂	H	H	H	H
231	H	Cl	O	H	H	H	H
232	H	Cl	OCH ₂ CO ₂	H	H	H	H
233	H	Cl	S	H	H	H	H
234	H	Cl	SCH ₂ CO ₂	H	H	H	H
235	H	Cl	CO ₂	H	H	H	H

Table 3



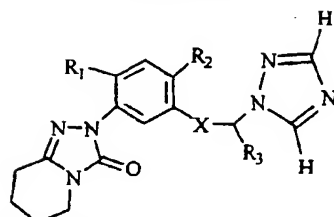
where A=CH, B=N and Q=Q1 in a compound of formula I

No	R ₁	R ₂	X	R ₃	R ₄	R ₅
236	F	Cl	CO ₂	H	H	H
237	F	Cl	CO ₂	CH ₃	H	H
238	F	Cl	CO ₂	C ₂ H ₅	H	H
239	F	Cl	CO ₂	H	Cl	Cl
240	F	Cl	CO ₂	H	CH ₃	CH ₃
241	F	Cl	CO ₂	CH ₃	Ph	CH ₃
242	F	Cl	CO ₂	C ₂ H ₅	Ph-4-Cl	H
243	F	Cl	CO ₂	H	Ph-4-Cl	CH ₃
244	F	Cl	CO ₂	CN	H	H
245	F	Cl	CO ₂	Ph	H	H
246	F	Cl	CO ₂	CH ₂ Ph	H	H

247	F	Cl	CO ₂	Ph-4-Cl	H	H
248	F	Cl	CO ₂	CH ₂ Ph-4-Cl	H	H
249	F	Cl	CO ₂	3-Py	H	H
250	F	Cl	OCH ₂ CO ₂	H	H	H
251	F	Cl	OCH ₂ CO ₂	CH ₃	H	H
252	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	H	H
253	F	Cl	OCH ₂ CO ₂	H	Cl	Cl
254	F	Cl	OCH ₂ CO ₂	H	CH ₃	CH ₃
255	F	Cl	OCH ₂ CO ₂	CH ₃	Ph	CH ₃
256	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	Ph-4-Cl	H
257	F	Cl	OCH ₂ CO ₂	H	Ph-4-Cl	CH ₃
258	F	Cl	OCH ₂ CO ₂	CN	H	H
259	F	Cl	OCH ₂ CO ₂	Ph	H	H
260	F	Cl	OCH ₂ CO ₂	CH ₂ Ph	H	H
261	F	Cl	OCH ₂ CO ₂	Ph-4-Cl	H	H
262	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl	H	H
263	F	Cl	OCH ₂ CO ₂	3-Py	H	H
264	F	Cl	OCH(CH ₃)CO ₂	H	H	H
265	F	Cl	OCH(CH ₃)CO ₂	CH ₃	H	H
266	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	H	H
267	F	Cl	OCH(CH ₃)CO ₂	H	Cl	Cl
268	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃	CH ₃
269	F	Cl	OCH(CH ₃)CO ₂	CH ₃	Ph	CH ₃
270	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	Ph-4-Cl	H
271	F	Cl	OCH(CH ₃)CO ₂	H	Ph-4-Cl	CH ₃
272	F	Cl	OCH(CH ₃)CO ₂	CN	H	H
273	F	Cl	OCH(CH ₃)CO ₂	Ph	H	H
274	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph	H	H
275	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl	H	H
276	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl	H	H
277	F	Cl	OCH(CH ₃)CO ₂	3-Py	H	H
278	F	Cl	O	H	H	H
279	F	Cl	O	CH ₃	H	H
280	F	Cl	O	C ₂ H ₅	H	H
281	F	Cl	O	H	Cl	Cl
282	F	Cl	O	H	CH ₃	CH ₃
283	F	Cl	O	CH ₃	Ph	CH ₃
284	F	Cl	O	C ₂ H ₅	Ph-4-Cl	H
285	F	Cl	O	H	Ph-4-Cl	CH ₃
286	F	Cl	O	CN	H	H
287	F	Cl	O	Ph	H	H
288	F	Cl	O	CH ₂ Ph	H	H
289	F	Cl	O	Ph-4-Cl	H	H
290	F	Cl	O	CH ₂ Ph-4-Cl	H	H
291	F	Cl	O	3-Py	H	H
292	F	Cl	SCH ₂ CO ₂	H	H	H
293	F	Cl	SCH ₂ CO ₂	CH ₃	H	H
294	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	H	H

295	F	Cl	SCH ₂ CO ₂	H	Cl	Cl
296	F	Cl	SCH ₂ CO ₂	H	CH ₃	CH ₃
297	F	Cl	SCH ₂ CO ₂	CH ₃	Ph	CH ₃
298	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	Ph-4-Cl	H
299	F	Cl	SCH ₂ CO ₂	H	Ph-4-Cl	CH ₃
300	F	Cl	SCH ₂ CO ₂	CN	H	H
301	F	Cl	SCH ₂ CO ₂	Ph	H	H
302	F	Cl	SCH ₂ CO ₂	CH ₂ Ph	H	H
303	F	Cl	SCH ₂ CO ₂	Ph-4-Cl	H	H
304	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl	H	H
305	F	Cl	SCH ₂ CO ₂	3-Py	H	H
306	F	Cl	SCH(CH ₃)CO ₂	H	H	H
307	F	Cl	SCH(CH ₃)CO ₂	CH ₃	H	H
308	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	H	H
309	F	Cl	SCH(CH ₃)CO ₂	H	Cl	Cl
310	F	Cl	SCH(CH ₃)CO ₂	H	CH ₃	CH ₃
311	F	Cl	SCH(CH ₃)CO ₂	CH ₃	Ph	CH ₃
312	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	Ph-4-Cl	H
313	F	Cl	SCH(CH ₃)CO ₂	H	Ph-4-Cl	CH ₃
314	F	Cl	SCH(CH ₃)CO ₂	CN	H	H
315	F	Cl	SCH(CH ₃)CO ₂	Ph	H	H
316	F	Cl	S	H	H	H
317	F	Cl	S	CH ₃	H	H
318	F	Cl	S	C ₂ H ₅	H	H
319	F	Cl	S	H	Cl	Cl
320	F	Cl	S	H	CH ₃	CH ₃
321	F	Cl	S	CH ₃	Ph	CH ₃
322	F	Cl	S	C ₂ H ₅	Ph-4-Cl	H
323	F	Cl	CH=C(Cl)CO ₂	H	H	H
324	F	Cl	CH ₂ CH(Cl)CO ₂	H	H	H
325	F	Cl	S	Ph	H	H
326	F	Cl	S	CH ₂ Ph	CH ₃	CH ₃
327	F	Cl	S	Ph-4-Cl	H	H
328	Cl	Cl	O	H	H	H
329	Cl	Cl	OCH ₂ CO ₂	H	H	H
330	Cl	Cl	S	H	H	H
331	Cl	Cl	SCH ₂ CO ₂	H	H	H
332	Cl	Cl	SCH(CH ₃)CO ₂	H	H	H
333	Cl	Cl	CO ₂	H	H	H
334	H	Cl	O	H	H	H
335	H	Cl	OCH ₂ CO ₂	H	H	H
336	H	Cl	S	H	H	H
337	H	Cl	SCH ₂ CO ₂	H	H	H
338	H	Cl	CO ₂	H	H	H

Table 4

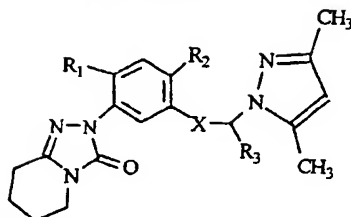


where A=B=N, $R_4=R_5=H$ and Q=Q2 in a compound of formula I

No	R_1	R_2	X	R_3
339	F	Cl	CO ₂	H
340	F	Cl	CO ₂	CH ₃
341	F	Cl	CO ₂	C ₂ H ₅
342	F	Cl	CO ₂	Ph
343	F	Cl	CO ₂	CH ₂ Ph
344	F	Cl	CO ₂	Ph-4-Cl
345	F	Cl	CO ₂	CN
346	F	Cl	CO ₂	3-Py
347	F	Cl	OCH ₂ CO ₂	H
348	F	Cl	OCH ₂ CO ₂	CH ₃
349	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
350	F	Cl	OCH ₂ CO ₂	Ph
351	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
352	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
353	F	Cl	OCH ₂ CO ₂	CN
354	F	Cl	OCH ₂ CO ₂	3-Py
355	F	Cl	OCH(CH ₃)CO ₂	H
356	F	Cl	OCH(CH ₃)CO ₂	CH ₃
357	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
358	F	Cl	OCH(CH ₃)CO ₂	Ph
359	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
360	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
361	F	Cl	CH=C(Cl)CO ₂	H
362	F	Cl	CH ₂ CH(Cl)CO ₂	H
363	F	Cl	O	H
364	F	Cl	O	CH ₃
365	F	Cl	O	C ₂ H ₅
366	F	Cl	O	Ph
367	F	Cl	O	CH ₂ Ph
368	F	Cl	CH=C(Cl)CO ₂	CN
369	F	Cl	CH ₂ CH(Cl)CO ₂	CN
370	F	Cl	O	3-Py
371	F	Cl	SCH ₂ CO ₂	H
372	F	Cl	SCH ₂ CO ₂	CH ₃
373	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
374	F	Cl	SCH ₂ CO ₂	Ph

375	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
376	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
377	F	Cl	SCH ₂ CO ₂	CN
378	F	Cl	SCH ₂ CO ₂	3-Py
379	F	H	SCH(CH ₃)CO ₂	H
380	F	Cl	SCH(CH ₃)CO ₂	CH ₃
381	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
382	F	Cl	SCH(CH ₃)CO ₂	Ph
383	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
384	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
385	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
386	F	Cl	SCH(CH ₃)CO ₂	3-Py
387	F	Cl	S	H
388	F	Cl	S	CH ₃
389	F	Cl	S	C ₂ H ₅
390	F	Cl	S	Ph
391	F	Cl	S	CH ₂ Ph
392	F	Cl	S	Ph-4-Cl
393	F	Cl	S	CH ₂ Ph-4-Cl
394	F	Cl	S	3-Py
395	Cl	Cl	O	H
396	Cl	Cl	OCH ₂ CO ₂	H
397	Cl	Cl	OCH(CH ₃)CO ₂	H
398	Cl	Cl	CH=C(Cl)CO ₂	H
399	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
400	Cl	Cl	S	H
401	Cl	Cl	SCH ₂ CO ₂	H
402	Cl	Cl	SCH(CH ₃)CO ₂	H
403	Cl	Cl	CO ₂	H
404	H	Cl	O	H
405	H	Cl	OCH ₂ CO ₂	H
406	H	Cl	OCH(CH ₃)CO ₂	H
407	H	Cl	CH=C(Cl)CO ₂	H
408	H	Cl	CH ₂ CH(Cl)CO ₂	H
409	H	Cl	S	H
410	H	Cl	SCH ₂ CO ₂	H
411	H	Cl	SCH(CH ₃)CO ₂	H
412	H	Cl	CH=C(Cl)CO ₂	H
413	H	Cl	CH ₂ CH(Cl)CO ₂	H
414	H	Cl	CO ₂	H
415	F	Cl	OCH ₂ CO ₂	Ph-4-F
416	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 5

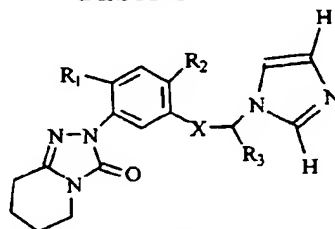


where A=N, B=CH, R₄=R₅=CH₃, Q=Q₂ in a compound of formula I

No	R ₁	R ₂	X	R ₃
417	F	Cl	CO ₂	H
418	F	Cl	CO ₂	CH ₃
419	F	Cl	CO ₂	C ₂ H ₅
420	F	Cl	CO ₂	Ph
421	F	Cl	CO ₂	CH ₂ Ph
422	F	Cl	CO ₂	Ph-4-Cl
423	F	Cl	CO ₂	CN
424	F	Cl	CO ₂	3-Py
425	F	Cl	OCH ₂ CO ₂	H
426	F	Cl	OCH ₂ CO ₂	CH ₃
427	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
428	F	Cl	OCH ₂ CO ₂	Ph
429	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
430	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
431	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
432	F	Cl	OCH ₂ CO ₂	3-Py
433	F	Cl	OCH(CH ₃)CO ₂	H
434	F	Cl	OCH(CH ₃)CO ₂	CH ₃
435	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
436	F	Cl	OCH(CH ₃)CO ₂	Ph
437	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
438	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
439	F	Cl	OCH(CH ₃)CO ₂	CN
440	F	Cl	OCH(CH ₃)CO ₂	3-Py
441	F	Cl	O	H
442	F	Cl	O	CH ₃
443	F	Cl	O	C ₂ H ₅
444	F	Cl	O	Ph
445	F	Cl	O	CH ₂ Ph
446	F	Cl	O	Ph-4-Cl
447	F	Cl	O	CH ₂ Ph-4-Cl
448	F	Cl	O	3-Py
449	F	Cl	SCH ₂ CO ₂	H
450	F	Cl	SCH ₂ CO ₂	CH ₃
451	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
452	F	Cl	SCH ₂ CO ₂	Ph

453	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
454	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
455	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
456	F	Cl	SCH ₂ CO ₂	3-Py
457	F	Cl	SCH(CH ₃)CO ₂	H
458	F	Cl	SCH(CH ₃)CO ₂	CH ₃
459	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
460	F	Cl	SCH(CH ₃)CO ₂	Ph
461	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
462	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
463	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
464	F	Cl	SCH(CH ₃)CO ₂	3-Py
465	F	Cl	S	H
466	F	Cl	S	CH ₃
467	F	Cl	S	C ₂ H ₅
468	F	Cl	S	Ph
469	F	Cl	S	CH ₂ Ph
470	F	Cl	S	Ph-4-Cl
471	F	Cl	S	CH ₂ Ph-4-Cl
472	F	Cl	S	3-Py
473	Cl	Cl	O	H
474	Cl	Cl	OCH ₂ CO ₂	H
475	Cl	Cl	S	H
476	Cl	Cl	SCH ₂ CO ₂	H
477	Cl	Cl	SCH(CH ₃)CO ₂	H
478	Cl	Cl	CO ₂	H
479	H	Cl	O	H
480	H	Cl	OCH ₂ CO ₂	H
481	H	Cl	S	H
482	H	Cl	SCH ₂ CO ₂	H
483	H	Cl	CO ₂	H

Table 6



where A=CH, B=N, R₄=R₅=H, Q=Q₂ in a compound of formula I

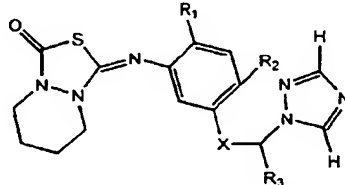
No	R ₁	R ₂	X	R ₃
484	F	Cl	CO ₂	H
485	F	Cl	CO ₂	CH ₃
486	F	Cl	CO ₂	C ₂ H ₅
487	F	Cl	CO ₂	Ph

	488	F	Cl	CO ₂	CH ₂ Ph
	489	F	Cl	CO ₂	Ph-4-Cl
5	490	F	Cl	CO ₂	CH ₂ Ph-4-Cl
	491	F	Cl	CO ₂	3-Py
	492	F	Cl	OCH ₂ CO ₂	H
	493	F	Cl	OCH ₂ CO ₂	CH ₃
	494	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
10	495	F	Cl	OCH ₂ CO ₂	Ph
	496	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
	497	F	Cl	OCH ₂ CO ₂	CN
	498	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
15	499	F	Cl	OCH ₂ CO ₂	3-Py
	500	F	Cl	OCH(CH ₃)CO ₂	H
	501	F	Cl	OCH(CH ₃)CO ₂	CH ₃
	502	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
	503	F	Cl	OCH(CH ₃)CO ₂	Ph
20	504	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
	505	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
	506	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
	507	F	Cl	OCH(CH ₃)CO ₂	3-Py
25	508	F	Cl	O	H
	509	F	Cl	O	CH ₃
	510	F	Cl	O	C ₂ H ₅
	511	F	Cl	O	Ph
	512	F	Cl	O	CH ₂ Ph
30	513	F	Cl	O	Ph-4-Cl
	514	F	Cl	O	CH ₂ Ph-4-Cl
	515	F	Cl	O	3-Py
	516	F	Cl	SCH ₂ CO ₂	H
	517	F	Cl	SCH ₂ CO ₂	CH ₃
35	518	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
	519	F	Cl	SCH ₂ CO ₂	Ph
	520	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
	521	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
	522	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
40	523	F	Cl	SCH ₂ CO ₂	3-Py
	524	F	Cl	SCH(CH ₃)CO ₂	H
	525	F	Cl	SCH(CH ₃)CO ₂	CH ₃
	526	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
45	527	F	Cl	SCH(CH ₃)CO ₂	Ph
	528	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
	529	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
	530	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
	531	F	Cl	SCH(CH ₃)CO ₂	3-Py
50	532	F	Cl	S	H
	533	F	Cl	S	CH ₃
	534	F	Cl	S	C ₂ H ₅
	535	F	Cl	S	Ph

55

536	F	Cl	S	CH ₂ Ph
537	F	Cl	S	Ph-4-Cl
538	F	Cl	S	CH ₂ Ph-4-Cl
539	F	Cl	S	3-Py
540	Cl	Cl	O	H
541	Cl	Cl	OCH ₂ CO ₂	H
542	Cl	Cl	S	H
543	Cl	Cl	SCH ₂ CO ₂	H
544	Cl	Cl	SCH(CH ₃)CO ₂	H
545	Cl	Cl	CO ₂	H
546	H	Cl	O	H
547	H	Cl	OCH ₂ CO ₂	H
548	H	Cl	S	H
549	H	Cl	SCH ₂ CO ₂	H
550	H	Cl	CO ₂	H

Table 7



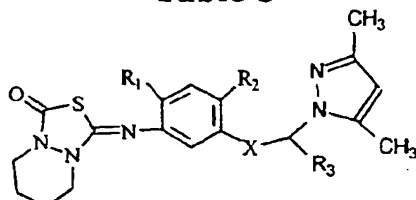
where A=B=N, R₄=R₅=H, Q=Q₃ in a compound of formula I

No	R ₁	R ₂	X	R ₃
551	F	Cl	CO ₂	H
552	F	Cl	CO ₂	CH ₃
553	F	Cl	CO ₂	C ₂ H ₅
554	F	Cl	CO ₂	Ph
555	F	Cl	CO ₂	CH ₂ Ph
556	F	Cl	CO ₂	Ph-4-Cl
557	F	Cl	CO ₂	CN
558	F	Cl	CO ₂	3-Py
559	F	Cl	OCH ₂ CO ₂	H
560	F	Cl	OCH ₂ CO ₂	CH ₃
561	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
562	F	Cl	OCH ₂ CO ₂	Ph
563	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
564	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
565	F	Cl	OCH ₂ CO ₂	CN
566	F	Cl	OCH ₂ CO ₂	3-Py
567	F	Cl	OCH(CH ₃)CO ₂	H
568	F	Cl	OCH(CH ₃)CO ₂	CH ₃
569	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
570	F	Cl	OCH(CH ₃)CO ₂	Ph
571	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph

572	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
573	F	Cl	OCH(CH ₃)CO ₂	CN
574	F	Cl	OCH(CH ₃)CO ₂	3-Py
575	F	Cl	O	H
576	F	Cl	O	CH ₃
577	F	Cl	O	C ₂ H ₅
578	F	Cl	O	Ph
579	F	Cl	O	CH ₂ Ph
580	F	Cl	O	Ph-4-Cl
581	F	Cl	O	CH ₂ Ph-4-Cl
582	F	Cl	O	3-Py
583	F	Cl	SCH ₂ CO ₂	H
584	F	Cl	SCH ₂ CO ₂	CH ₃
585	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
586	F	Cl	SCH ₂ CO ₂	Ph
587	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
588	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
589	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
590	F	Cl	SCH ₂ CO ₂	3-Py
591	F	H	SCH(CH ₃)CO ₂	H
592	F	Cl	SCH(CH ₃)CO ₂	CH ₃
593	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
594	F	Cl	SCH(CH ₃)CO ₂	Ph
595	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
596	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
597	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
598	F	Cl	SCH(CH ₃)CO ₂	3-Py
599	F	Cl	S	H
600	F	Cl	S	CH ₃
601	F	Cl	S	C ₂ H ₅
602	F	Cl	S	Ph
603	F	Cl	S	CH ₂ Ph
604	F	Cl	S	Ph-4-Cl
605	F	Cl	S	CH ₂ Ph-4-Cl
606	F	Cl	S	3-Py
607	F	Cl	CH=C(Cl)CO ₂	H
608	F	Cl	CH ₂ CH(Cl)CO ₂	H
609	Cl	Cl	O	H
610	Cl	Cl	OCH ₂ CO ₂	H
611	Cl	Cl	CH=C(Cl)CO ₂	H
612	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
613	Cl	Cl	SCH ₂ CO ₂	H
614	Cl	Cl	SCH(CH ₃)CO ₂	H
615	Cl	Cl	CO ₂	H
616	H	Cl	OCH ₂ CO ₂	H
617	H	Cl	CH=C(Cl)CO ₂	H
618	H	Cl	CH ₂ CH(Cl)CO ₂	H
619	H	Cl	S	H

620	H	Cl	SCH ₂ CO ₂	H
621	H	Cl	SCH(CH ₃)CO ₂	H
622	H	Cl	CO ₂	H
623	F	Cl	OCH ₂ CO ₂	Ph-4-F
624	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 8

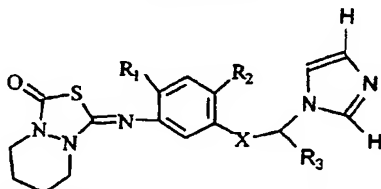


where A=N, B=CH, R₄=R₅=CH₃, Q=Q3 in a compound of formula I

No	R ₁	R ₂	X	R ₃
625	F	Cl	CO ₂	H
626	F	Cl	CO ₂	CH ₃
627	F	Cl	CO ₂	C ₂ H ₅
628	F	Cl	CO ₂	Ph
629	F	Cl	CO ₂	CH ₂ Ph
630	F	Cl	CO ₂	Ph-4-Cl
631	F	Cl	CO ₂	CH ₂ Ph-4-Cl
632	F	Cl	CO ₂	3-Py
633	F	Cl	OCH ₂ CO ₂	H
634	F	Cl	OCH ₂ CO ₂	CH ₃
635	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
636	F	Cl	OCH ₂ CO ₂	Ph
637	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
638	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
639	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
640	F	Cl	OCH ₂ CO ₂	3-Py
641	F	Cl	OCH(CH ₃)CO ₂	H
642	F	Cl	OCH(CH ₃)CO ₂	CH ₃
643	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
644	F	Cl	OCH(CH ₃)CO ₂	Ph
645	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
646	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
647	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
648	F	Cl	OCH(CH ₃)CO ₂	3-Py
649	F	Cl	O	H
650	F	Cl	O	CH ₃
651	F	Cl	O	C ₂ H ₅
652	F	Cl	O	Ph
653	F	Cl	O	CH ₂ Ph
654	F	Cl	O	Ph-4-Cl
655	F	Cl	O	CH ₂ Ph-4-Cl

656	F	Cl	O	3-Py
657	F	Cl	SCH ₂ CO ₂	H
658	F	Cl	SCH ₂ CO ₂	CH ₃
659	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
660	F	Cl	SCH ₂ CO ₂	Ph
661	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
662	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
663	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
664	F	Cl	SCH ₂ CO ₂	3-Py
665	F	Cl	SCH(CH ₃)CO ₂	H
666	F	Cl	SCH(CH ₃)CO ₂	CH ₃
667	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
668	F	Cl	SCH(CH ₃)CO ₂	Ph
669	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
670	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
671	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
672	F	Cl	SCH(CH ₃)CO ₂	3-Py
673	F	Cl	S	H
674	F	Cl	S	CH ₃
675	F	Cl	S	C ₂ H ₅
676	F	Cl	S	Ph
677	F	Cl	S	CH ₂ Ph
678	F	Cl	S	Ph-4-Cl
679	F	Cl	S	CH ₂ Ph-4-Cl
680	F	Cl	S	3-Py

Table 9

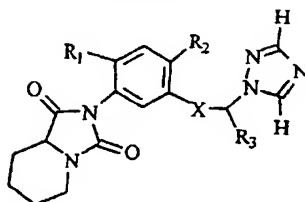


where A=CH, B=N, R₄=R₅=H, Q=Q₃ in a compound of formula I

No	R ₁	R ₂	X	R ₃
681	F	Cl	CO ₂	H
682	F	Cl	CO ₂	CH ₃
683	F	Cl	CO ₂	C ₂ H ₅
684	F	Cl	CO ₂	Ph
685	F	Cl	CO ₂	CH ₂ Ph
686	F	Cl	CO ₂	Ph-4-Cl
687	F	Cl	CO ₂	CH ₂ Ph-4-Cl
688	F	Cl	CO ₂	3-Py
689	F	Cl	OCH ₂ CO ₂	H
690	F	Cl	OCH ₂ CO ₂	CH ₃
691	F	Cl	OCH ₂ CO ₂	C ₂ H ₅

692	F	Cl	OCH ₂ CO ₂	Ph
693	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
694	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
695	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
696	F	Cl	OCH ₂ CO ₂	3-Py
697	F	Cl	OCH(CH ₃)CO ₂	H
698	F	Cl	OCH(CH ₃)CO ₂	CH ₃
699	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
700	F	Cl	OCH(CH ₃)CO ₂	Ph
701	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
702	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
703	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
704	F	Cl	OCH(CH ₃)CO ₂	3-Py
705	F	Cl	O	H
706	F	Cl	O	CH ₃
707	F	Cl	O	C ₂ H ₅
708	F	Cl	O	Ph
709	F	Cl	O	CH ₂ Ph
710	F	Cl	O	Ph-4-Cl
711	F	Cl	O	CH ₂ Ph-4-Cl
712	F	Cl	O	3-Py
713	F	Cl	SCH ₂ CO ₂	H
714	F	Cl	SCH ₂ CO ₂	CH ₃
715	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
716	F	Cl	SCH ₂ CO ₂	Ph
717	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
718	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
719	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
720	F	Cl	SCH ₂ CO ₂	3-Py
721	F	Cl	SCH(CH ₃)CO ₂	H
722	F	Cl	SCH(CH ₃)CO ₂	CH ₃
723	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
724	F	Cl	SCH(CH ₃)CO ₂	Ph
725	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
726	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
727	F	Cl	S	H
728	F	Cl	S	CH ₃
729	F	Cl	S	C ₂ H ₅
730	F	Cl	S	Ph
731	F	Cl	S	CH ₂ Ph
732	F	Cl	S	Ph-4-Cl
733	F	Cl	S	CH ₂ Ph-4-Cl
734	F	Cl	S	3-Py

Table 10

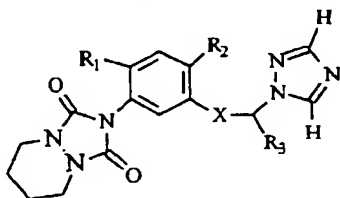


where A=B=N, R₄=R₅=H, Q=Q4 in a compound of formula I

No	R ₁	R ₂	X	R ₃
735	F	Cl	CO ₂	H
736	F	Cl	CO ₂	CH ₃
737	F	Cl	CO ₂	C ₂ H ₅
738	F	Cl	CO ₂	Ph
739	F	Cl	CO ₂	CH ₂ Ph
740	F	Cl	CO ₂	Ph-4-Cl
741	F	Cl	CO ₂	CN
742	F	Cl	CO ₂	3-Py
743	F	Cl	OCH ₂ CO ₂	H
744	F	Cl	OCH ₂ CO ₂	CH ₃
745	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
746	F	Cl	OCH ₂ CO ₂	Ph
747	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
748	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
749	F	Cl	OCH ₂ CO ₂	CN
750	F	Cl	OCH ₂ CO ₂	3-Py
751	F	Cl	OCH(CH ₃)CO ₂	H
752	F	Cl	OCH(CH ₃)CO ₂	CH ₃
753	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
754	F	Cl	OCH(CH ₃)CO ₂	Ph
755	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
756	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
757	F	Cl	OCH(CH ₃)CO ₂	CN
758	F	Cl	OCH(CH ₃)CO ₂	3-Py
759	F	Cl	O	H
760	F	Cl	O	CH ₃
761	F	Cl	O	C ₂ H ₅
762	F	Cl	O	Ph
763	F	Cl	O	CH ₂ Ph
764	F	Cl	O	Ph-4-Cl
765	F	Cl	O	CN
766	F	Cl	O	3-Py
767	F	Cl	SCH ₂ CO ₂	H
768	F	Cl	SCH ₂ CO ₂	CH ₃
769	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
770	F	Cl	SCH ₂ CO ₂	Ph
771	F	Cl	SCH ₂ CO ₂	CH ₂ Ph

772	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
773	F	Cl	SCH ₂ CO ₂	CN
774	F	Cl	SCH ₂ CO ₂	3-Py
775	F	H	SCH(CH ₃)CO ₂	H
776	F	Cl	SCH(CH ₃)CO ₂	CH ₃
777	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
778	F	Cl	SCH(CH ₃)CO ₂	Ph
779	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
780	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
781	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
782	F	Cl	SCH(CH ₃)CO ₂	3-Py
783	F	Cl	S	H
784	F	Cl	S	CH ₃
785	F	Cl	S	C ₂ H ₅
786	F	Cl	S	Ph
787	F	Cl	CH=C(Cl)CO ₂	CN
788	F	Cl	CH ₂ CH(Cl)CO ₂	CN
789	F	Cl	CH=C(Cl)CO ₂	H
790	F	Cl	CH ₂ CH(Cl)CO ₂	H
791	Cl	Cl	O	H
792	Cl	Cl	OCH ₂ CO ₂	H
793	Cl	Cl	OCH(CH ₃)CO ₂	H
794	Cl	Cl	SCH ₂ CO ₂	H
795	Cl	Cl	CH=C(Cl)CO ₂	H
796	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
797	Cl	Cl	CO ₂	H
798	H	Cl	O	H
799	H	Cl	OCH ₂ CO ₂	H
800	H	Cl	OCH(CH ₃)CO ₂	H
801	H	Cl	SCH ₂ CO ₂	H
802	H	Cl	CH=C(Cl)CO ₂	H
803	H	Cl	CH ₂ CH(Cl)CO ₂	H
804	H	Cl	CO ₂	H
805	F	Cl	OCH ₂ CO ₂	Ph-4-F
806	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 11



where A=B=N, R₄=R₅=H, Q=Q5 in a compound of formula I

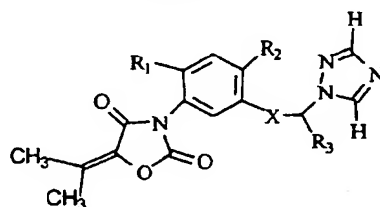
No	R ₁	R ₂	X	R ₃
807	F	Cl	CO ₂	H

	808	F	Cl	CO ₂	CH ₃
	809	F	Cl	CO ₂	C ₂ H ₅
5	810	F	Cl	CO ₂	Ph
	811	F	Cl	CO ₂	CH ₂ Ph
	812	F	Cl	CO ₂	Ph-4-Cl
	813	F	Cl	CO ₂	CN
10	814	F	Cl	CO ₂	3-Py
	815	F	Cl	OCH ₂ CO ₂	H
	816	F	Cl	OCH ₂ CO ₂	CH ₃
	817	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
	818	F	Cl	OCH ₂ CO ₂	Ph
15	819	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
	820	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
	821	F	Cl	OCH ₂ CO ₂	CN
	822	F	Cl	OCH ₂ CO ₂	3-Py
	823	F	Cl	OCH(CH ₃)CO ₂	H
20	824	F	Cl	OCH(CH ₃)CO ₂	CH ₃
	825	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
	826	F	Cl	OCH(CH ₃)CO ₂	Ph
	827	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
25	828	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
	829	F	Cl	OCH(CH ₃)CO ₂	CN
	830	F	Cl	OCH(CH ₃)CO ₂	3-Py
	831	F	Cl	O	H
	832	F	Cl	O	CH ₃
30	833	F	Cl	O	C ₂ H ₅
	834	F	Cl	O	Ph
	835	F	Cl	O	CH ₂ Ph
	836	F	Cl	O	Ph-4-Cl
	837	F	Cl	O	CN
35	838	F	Cl	O	3-Py
	839	F	Cl	SCH ₂ CO ₂	H
	840	F	Cl	SCH ₂ CO ₂	CH ₃
	841	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
	842	F	Cl	SCH ₂ CO ₂	Ph
40	843	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
	844	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
	845	F	Cl	SCH ₂ CO ₂	CN
	846	F	Cl	SCH ₂ CO ₂	3-Py
45	847	F	H	SCH(CH ₃)CO ₂	H
	848	F	Cl	SCH(CH ₃)CO ₂	CH ₃
	849	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
	850	F	Cl	SCH(CH ₃)CO ₂	Ph
	851	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
50	852	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
	853	F	Cl	SCH(CH ₃)CO ₂	CN
	854	F	Cl	SCH(CH ₃)CO ₂	3-Py
	855	F	Cl	S	H

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856	F	Cl	S	CH ₃
857	F	Cl	S	C ₂ H ₅
858	F	Cl	S	Ph
859	F	Cl	S	CH ₂ Ph
860	F	Cl	S	Ph-4-Cl
861	F	Cl	CH=C(Cl)CO ₂	H
862	F	Cl	CH ₂ CH(Cl)CO ₂	H
863	Cl	Cl	O	H
864	Cl	Cl	OCH ₂ CO ₂	H
865	Cl	Cl	OCH(CH ₃)CO ₂	H
866	Cl	Cl	SCH ₂ CO ₂	H
867	Cl	Cl	CH=C(Cl)CO ₂	H
868	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
869	Cl	Cl	CO ₂	H
870	H	Cl	O	H
871	H	Cl	OCH ₂ CO ₂	H
872	H	Cl	OCH(CH ₃)CO ₂	H
873	H	Cl	SCH ₂ CO ₂	H
874	H	Cl	CH=C(Cl)CO ₂	H
875	H	Cl	CH ₂ CH(Cl)CO ₂	H
876	H	Cl	CO ₂	H
877	F	Cl	OCH ₂ CO ₂	Ph-4-F
878	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 12



where A=B=N, R₄=R₅=H, Q=Q6 in a compound of formula I

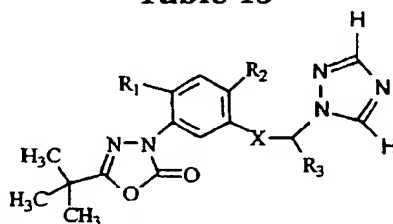
No	R ₁	R ₂	X	R ₃
879	F	Cl	CO ₂	H
880	F	Cl	CO ₂	CH ₃
881	F	Cl	CO ₂	C ₂ H ₅
882	F	Cl	CO ₂	Ph
883	F	Cl	CO ₂	CH ₂ Ph
884	F	Cl	CO ₂	Ph-4-Cl
885	F	Cl	CO ₂	CN
886	F	Cl	CO ₂	3-Py
887	F	Cl	OCH ₂ CO ₂	H
888	F	Cl	OCH ₂ CO ₂	CH ₃
889	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
890	F	Cl	OCH ₂ CO ₂	Ph

	891	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
	892	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
5	893	F	Cl	OCH ₂ CO ₂	CN
	894	F	Cl	OCH ₂ CO ₂	3-Py
	895	F	Cl	OCH(CH ₃)CO ₂	H
	896	F	Cl	OCH(CH ₃)CO ₂	CH ₃
10	897	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
	898	F	Cl	OCH(CH ₃)CO ₂	Ph
	899	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
	900	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
	901	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
15	902	F	Cl	OCH(CH ₃)CO ₂	3-Py
	903	F	Cl	O	H
	904	F	Cl	O	CH ₃
	905	F	Cl	O	C ₂ H ₅
	906	F	Cl	O	Ph
20	907	F	Cl	O	CH ₂ Ph
	908	F	Cl	O	Ph-4-Cl
	909	F	Cl	O	CN
	910	F	Cl	O	3-Py
25	911	F	Cl	SCH ₂ CO ₂	H
	912	F	Cl	SCH ₂ CO ₂	CH ₃
	913	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
	914	F	Cl	SCH ₂ CO ₂	Ph
	915	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
30	916	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
	917	F	Cl	SCH ₂ CO ₂	CN
	918	F	Cl	SCH ₂ CO ₂	3-Py
	919	F	H	SCH(CH ₃)CO ₂	H
35	920	F	Cl	SCH(CH ₃)CO ₂	CH ₃
	921	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
	922	F	Cl	SCH(CH ₃)CO ₂	Ph
	923	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
	924	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
	925	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
40	926	F	Cl	SCH(CH ₃)CO ₂	3-Py
	927	F	Cl	S	H
	928	F	Cl	S	CH ₃
	929	F	Cl	S	C ₂ H ₅
45	930	F	Cl	S	Ph
	931	F	Cl	S	CH ₂ Ph
	932	F	Cl	S	Ph-4-Cl
	933	F	Cl	CH=C(Cl)CO ₂	H
	934	F	Cl	CH ₂ CH(Cl)CO ₂	H
50	935	Cl	Cl	O	H
	936	Cl	Cl	OCH ₂ CO ₂	H
	937	Cl	Cl	CH=C(Cl)CO ₂	H
	938	Cl	Cl	CH ₂ CH(Cl)CO ₂	H

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939	Cl	Cl	SCH ₂ CO ₂	H
940	Cl	Cl	SCH(CH ₃)CO ₂	H
941	Cl	Cl	CO ₂	H
942	H	Cl	O	H
943	H	Cl	OCH ₂ CO ₂	H
944	H	Cl	OCH(CH ₃)CO ₂	H
945	H	Cl	SCH ₂ CO ₂	H
946	H	Cl	CH=C(Cl)CO ₂	H
947	H	Cl	CH ₂ CH(Cl)CO ₂	H
948	H	Cl	CO ₂	H
949	F	Cl	OCH ₂ CO ₂	Ph-4-F
950	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 13



where A=B=N, R₄=R₅=H, Q=Q7 in a compound of formula I

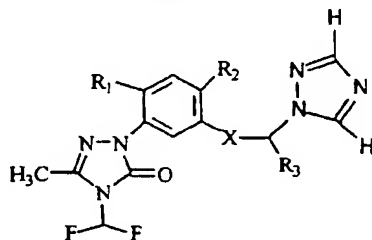
No	R ₁	R ₂	X	R ₃
951	F	Cl	CO ₂	H
952	F	Cl	CO ₂	CH ₃
953	F	Cl	CO ₂	C ₂ H ₅
954	F	Cl	CO ₂	Ph
955	F	Cl	CO ₂	CH ₂ Ph
956	F	Cl	CO ₂	Ph-4-Cl
957	F	Cl	CO ₂	CN
958	F	Cl	CO ₂	3-Py
959	F	Cl	OCH ₂ CO ₂	H
960	F	Cl	OCH ₂ CO ₂	CH ₃
961	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
962	F	Cl	OCH ₂ CO ₂	Ph
963	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
964	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
965	F	Cl	OCH ₂ CO ₂	CN
966	F	Cl	OCH ₂ CO ₂	3-Py
967	F	Cl	OCH(CH ₃)CO ₂	H
968	F	Cl	OCH(CH ₃)CO ₂	CH ₃
969	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
970	F	Cl	OCH(CH ₃)CO ₂	Ph
971	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
972	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
973	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl

	974	F	Cl	OCH(CH ₃)CO ₂	3-Py
	975	F	Cl	O	H
5	976	F	Cl	O	CH ₃
	977	F	Cl	O	C ₂ H ₅
	978	F	Cl	O	Ph
	979	F	Cl	O	CH ₂ Ph
10	980	F	Cl	O	Ph-4-Cl
	981	F	Cl	O	CN
	982	F	Cl	O	3-Py
	983	F	Cl	SCH ₂ CO ₂	H
	984	F	Cl	SCH ₂ CO ₂	CH ₃
15	985	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
	986	F	Cl	SCH ₂ CO ₂	Ph
	987	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
	988	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
	989	F	Cl	SCH ₂ CO ₂	CN
20	990	F	Cl	SCH ₂ CO ₂	3-Py
	991	F	H	SCH(CH ₃)CO ₂	H
	992	F	Cl	SCH(CH ₃)CO ₂	CH ₃
	993	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
25	994	F	Cl	SCH(CH ₃)CO ₂	Ph
	995	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
	996	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
	997	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
	998	F	Cl	SCH(CH ₃)CO ₂	3-Py
30	999	F	Cl	S	H
	1000	F	Cl	S	CH ₃
	1001	F	Cl	S	C ₂ H ₅
	1002	F	Cl	S	Ph
	1003	F	Cl	S	CH ₂ Ph
35	1004	F	Cl	S	Ph-4-Cl
	1005	F	Cl	CH=C(Cl)CO ₂	H
	1006	F	Cl	CH ₂ CH(Cl)CO ₂	H
	1007	Cl	Cl	O	H
	1008	Cl	Cl	OCH ₂ CO ₂	H
40	1009	Cl	Cl	CH=C(Cl)CO ₂	H
	1010	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
	1011	Cl	Cl	SCH ₂ CO ₂	H
	1012	Cl	Cl	SCH(CH ₃)CO ₂	H
45	1013	Cl	Cl	CO ₂	H
	1014	H	Cl	O	H
	1015	H	Cl	OCH ₂ CO ₂	H
	1016	H	Cl	OCH(CH ₃)CO ₂	H
	1017	H	Cl	SCH ₂ CO ₂	H
50	1018	H	Cl	CH=C(Cl)CO ₂	H
	1019	H	Cl	CH ₂ CH(Cl)CO ₂	H
	1020	H	Cl	CO ₂	H
	1021	F	Cl	OCH ₂ CO ₂	Ph-4-F

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1022 F Cl SCH₂CO₂ Ph-4-F

Table 14



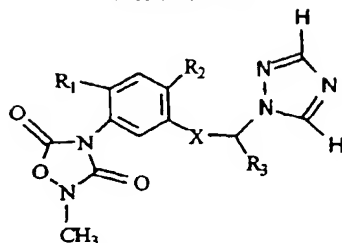
where A=B=N, R₄=R₅=H, Q=Q8 in a compound of formula I

No	R ₁	R ₂	X	R ₃
1023	F	Cl	CO ₂	H
1024	F	Cl	CO ₂	CH ₃
1025	F	Cl	CO ₂	C ₂ H ₅
1026	F	Cl	CO ₂	Ph
1027	F	Cl	CO ₂	CH ₂ Ph
1028	F	Cl	CO ₂	Ph-4-Cl
1029	F	Cl	CO ₂	CN
1030	F	Cl	CO ₂	3-Py
1031	F	Cl	OCH ₂ CO ₂	H
1032	F	Cl	OCH ₂ CO ₂	CH ₃
1033	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
1034	F	Cl	OCH ₂ CO ₂	Ph
1035	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
1036	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
1037	F	Cl	OCH ₂ CO ₂	CN
1038	F	Cl	OCH ₂ CO ₂	3-Py
1039	F	Cl	OCH(CH ₃)CO ₂	H
1040	F	Cl	OCH(CH ₃)CO ₂	CH ₃
1041	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
1042	F	Cl	OCH(CH ₃)CO ₂	Ph
1043	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
1044	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
1045	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
1046	F	Cl	OCH(CH ₃)CO ₂	3-Py
1047	F	Cl	O	H
1048	F	Cl	O	CH ₃
1049	F	Cl	O	C ₂ H ₅
1050	F	Cl	O	Ph
1051	F	Cl	O	CH ₂ Ph
1052	F	Cl	O	Ph-4-Cl
1053	F	Cl	O	CH ₂ Ph-4-Cl
1054	F	Cl	O	3-Py
1055	F	Cl	SCH ₂ CO ₂	H

	1056	F	Cl	SCH ₂ CO ₂	CH ₃
	1057	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
5	1058	F	Cl	SCH ₂ CO ₂	Ph
	1059	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
	1060	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
10	1061	F	Cl	SCH ₂ CO ₂	CN
	1062	F	Cl	SCH ₂ CO ₂	3-Py
	1063	F	H	SCH(CH ₃)CO ₂	H
	1064	F	Cl	SCH(CH ₃)CO ₂	CH ₃
15	1065	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
	1066	F	Cl	SCH(CH ₃)CO ₂	Ph
	1067	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
	1068	F	Cl	CH=C(Cl)CO ₂	CN
	1069	F	Cl	CH ₂ CH(Cl)CO ₂	CN
20	1070	F	Cl	SCH(CH ₃)CO ₂	3-Py
	1071	F	Cl	S	H
	1072	F	Cl	S	CH ₃
	1073	F	Cl	S	C ₂ H ₅
25	1074	F	Cl	S	Ph
	1075	F	Cl	S	CH ₂ Ph
	1076	F	Cl	S	Ph-4-Cl
	1077	F	Cl	CH=C(Cl)CO ₂	H
30	1078	F	Cl	CH ₂ CH(Cl)CO ₂	H
	1079	Cl	Cl	O	H
	1080	Cl	Cl	OCH ₂ CO ₂	H
	1081	Cl	Cl	CH=C(Cl)CO ₂	H
35	1082	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
	1083	Cl	Cl	SCH ₂ CO ₂	H
	1084	Cl	Cl	SCH(CH ₃)CO ₂	H
	1085	Cl	Cl	CO ₂	H
40	1086	H	Cl	O	H
	1087	H	Cl	OCH ₂ CO ₂	H
	1088	H	Cl	OCH(CH ₃)CO ₂	H
	1089	H	Cl	SCH ₂ CO ₂	H
45	1090	H	Cl	CH=C(Cl)CO ₂	H
	1091	H	Cl	CH ₂ CH(Cl)CO ₂	H
	1092	H	Cl	CO ₂	H
	1093	F	Cl	OCH ₂ CO ₂	Ph-4-F
50	1094	F	Cl	SCH ₂ CO ₂	Ph-4-F

55

Table 15

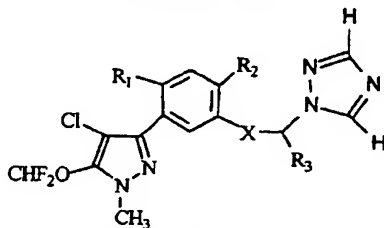


where A=B=N, R₄=R₅=H, Q=Q9 in a compound of formula I

No	R ₁	R ₂	X	R ₃
1095	F	Cl	CO ₂	H
1096	F	Cl	CO ₂	CH ₃
1097	F	Cl	CO ₂	C ₂ H ₅
1098	F	Cl	CO ₂	Ph
1099	F	Cl	CO ₂	CH ₂ Ph
1100	F	Cl	CO ₂	Ph-4-Cl
1101	F	Cl	CO ₂	CN
1102	F	Cl	CO ₂	3-Py
1103	F	Cl	OCH ₂ CO ₂	H
1104	F	Cl	OCH ₂ CO ₂	CH ₃
1105	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
1106	F	Cl	OCH ₂ CO ₂	Ph
1107	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
1108	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
1109	F	Cl	OCH ₂ CO ₂	CN
1110	F	Cl	OCH ₂ CO ₂	3-Py
1111	F	Cl	OCH(CH ₃)CO ₂	H
1112	F	Cl	OCH(CH ₃)CO ₂	CH ₃
1113	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
1114	F	Cl	OCH(CH ₃)CO ₂	Ph
1115	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
1116	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
1117	F	Cl	OCH(CH ₃)CO ₂	CN
1118	F	Cl	OCH(CH ₃)CO ₂	3-Py
1119	F	Cl	O	H
1120	F	Cl	O	CH ₃
1121	F	Cl	O	C ₂ H ₅
1122	F	Cl	O	Ph
1123	F	Cl	O	CH ₂ Ph
1124	F	Cl	O	Ph-4-Cl
1125	F	Cl	O	CN
1126	F	Cl	O	3-Py
1127	F	Cl	SCH ₂ CO ₂	H
1128	F	Cl	SCH ₂ CO ₂	CH ₃
1129	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
1130	F	Cl	SCH ₂ CO ₂	Ph

1131	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
1132	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
1133	F	Cl	SCH ₂ CO ₂	CN
1134	F	Cl	SCH ₂ CO ₂	3-Py
1135	F	H	SCH(CH ₃)CO ₂	H
1136	F	Cl	SCH(CH ₃)CO ₂	CH ₃
1137	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
1138	F	Cl	SCH(CH ₃)CO ₂	Ph
1139	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
1140	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
1141	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
1142	F	Cl	SCH(CH ₃)CO ₂	3-Py
1143	F	Cl	S	H
1144	F	Cl	S	CH ₃
1145	F	Cl	S	C ₂ H ₅
1146	F	Cl	S	Ph
1147	F	Cl	S	CH ₂ Ph
1148	F	Cl	S	Ph-4-Cl
1149	F	Cl	CH=C(Cl)CO ₂	H
1150	F	Cl	CH ₂ CH(Cl)CO ₂	H
1151	Cl	Cl	O	H
1152	Cl	Cl	OCH ₂ CO ₂	H
1153	Cl	Cl	CH=C(Cl)CO ₂	H
1154	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
1155	Cl	Cl	SCH ₂ CO ₂	H
1156	Cl	Cl	SCH(CH ₃)CO ₂	H
1157	Cl	Cl	CO ₂	H
1158	H	Cl	O	H
1159	H	Cl	OCH ₂ CO ₂	H
1160	H	Cl	OCH(CH ₃)CO ₂	H
1161	H	Cl	SCH ₂ CO ₂	H
1162	H	Cl	CH=C(Cl)CO ₂	H
1163	H	Cl	CH ₂ CH(Cl)CO ₂	H
1164	H	Cl	CO ₂	H
1165	F	Cl	OCH ₂ CO ₂	Ph-4-F
1166	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 16

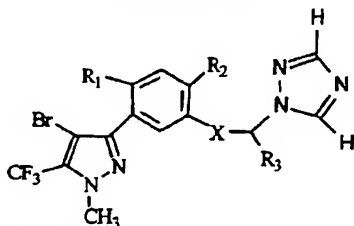


where A=B=N, R₄=R₅=H, Q=Q10 in a compound of formula I

No	R ₁	R ₂	X	R ₃
1167	F	Cl	CO ₂	H
1168	F	Cl	CO ₂	CH ₃
1169	F	Cl	CO ₂	C ₂ H ₅
1170	F	Cl	CO ₂	Ph
1171	F	Cl	CO ₂	CH ₂ Ph
1172	F	Cl	CO ₂	Ph-4-Cl
1173	F	Cl	CO ₂	CH ₂ Ph-4-Cl
1174	F	Cl	CO ₂	3-Py
1175	F	Cl	OCH ₂ CO ₂	H
1176	F	Cl	OCH ₂ CO ₂	CH ₃
1177	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
1178	F	Cl	OCH ₂ CO ₂	Ph
1179	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
1180	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
1181	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
1182	F	Cl	OCH ₂ CO ₂	3-Py
1183	F	Cl	OCH(CH ₃)CO ₂	H
1184	F	Cl	OCH(CH ₃)CO ₂	CH ₃
1185	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
1186	F	Cl	OCH(CH ₃)CO ₂	Ph
1187	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
1188	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
1189	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
1190	F	Cl	OCH(CH ₃)CO ₂	3-Py
1191	F	Cl	O	H
1192	F	Cl	O	CH ₃
1193	F	Cl	O	C ₂ H ₅
1194	F	Cl	O	Ph
1195	F	Cl	O	CH ₂ Ph
1196	F	Cl	O	Ph-4-Cl
1197	F	Cl	O	CH ₂ Ph-4-Cl
1198	F	Cl	O	3-Py
1199	F	Cl	SCH ₂ CO ₂	H
1200	F	Cl	SCH ₂ CO ₂	CH ₃
1201	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
1202	F	Cl	SCH ₂ CO ₂	Ph
1203	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
1204	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
1205	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
1206	F	Cl	SCH ₂ CO ₂	3-Py
1207	F	H	SCH(CH ₃)CO ₂	H
1208	F	Cl	SCH(CH ₃)CO ₂	CH ₃
1209	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
1210	F	Cl	SCH(CH ₃)CO ₂	Ph
1211	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
1212	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
1213	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl

1214	F	Cl	SCH(CH ₃)CO ₂	3-Py
1215	F	Cl	S	H
1216	F	Cl	S	CH ₃
1217	F	Cl	S	C ₂ H ₅
1218	F	Cl	S	Ph
1219	F	Cl	S	CH ₂ Ph
1220	F	Cl	S	Ph-4-Cl
1221	F	Cl	CH=C(Cl)CO ₂	H
1222	F	Cl	CH ₂ CH(Cl)CO ₂	H
1223	Cl	Cl	O	H
1224	Cl	Cl	OCH ₂ CO ₂	H
1225	Cl	Cl	CH=C(Cl)CO ₂	H
1226	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
1227	Cl	Cl	SCH ₂ CO ₂	H
1228	Cl	Cl	SCH(CH ₃)CO ₂	H
1229	Cl	Cl	CO ₂	H
1230	H	Cl	O	H
1231	H	Cl	OCH ₂ CO ₂	H
1232	H	Cl	OCH(CH ₃)CO ₂	H
1233	H	Cl	SCH ₂ CO ₂	H
1234	H	Cl	CH=C(Cl)CO ₂	H
1235	H	Cl	CH ₂ CH(Cl)CO ₂	H
1236	H	Cl	CO ₂	H
1237	F	Cl	OCH ₂ CO ₂	Ph-4-F
1238	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 17



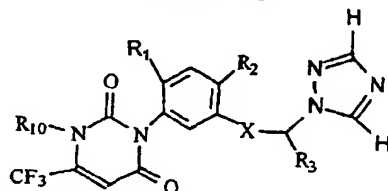
where A=B=N, R₄=R₅=H, Q=Q11 in a compound of formula I

No	R ₁	R ₂	X	R ₃
1239	F	Cl	CO ₂	H
1240	F	Cl	CO ₂	CH ₃
1241	F	Cl	CO ₂	C ₂ H ₅
1242	F	Cl	CO ₂	Ph
1243	F	Cl	CO ₂	CH ₂ Ph
1244	F	Cl	CO ₂	Ph-4-Cl
1245	F	Cl	CO ₂	CH ₂ Ph-4-Cl
1246	F	Cl	CO ₂	3-Py
1247	F	Cl	OCH ₂ CO ₂	H
1248	F	Cl	OCH ₂ CO ₂	CH ₃

	1249	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
	1250	F	Cl	OCH ₂ CO ₂	Ph
5	1251	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
	1252	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
	1253	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
	1254	F	Cl	OCH ₂ CO ₂	3-Py
	1255	F	Cl	OCH(CH ₃)CO ₂	H
10	1256	F	Cl	OCH(CH ₃)CO ₂	CH ₃
	1257	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
	1258	F	Cl	OCH(CH ₃)CO ₂	Ph
	1259	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
	1260	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
15	1261	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
	1262	F	Cl	OCH(CH ₃)CO ₂	3-Py
	1263	F	Cl	O	H
	1264	F	Cl	O	CH ₃
20	1265	F	Cl	O	C ₂ H ₅
	1266	F	Cl	O	Ph
	1267	F	Cl	O	CH ₂ Ph
	1268	F	Cl	O	Ph-4-Cl
	1269	F	Cl	O	CH ₂ Ph-4-Cl
25	1270	F	Cl	O	3-Py
	1271	F	Cl	SCH ₂ CO ₂	H
	1272	F	Cl	SCH ₂ CO ₂	CH ₃
	1273	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
	1274	F	Cl	SCH ₂ CO ₂	Ph
30	1275	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
	1276	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
	1277	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
	1278	F	Cl	SCH ₂ CO ₂	3-Py
35	1279	F	H	SCH(CH ₃)CO ₂	H
	1280	F	Cl	SCH(CH ₃)CO ₂	CH ₃
	1281	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
	1282	F	Cl	SCH(CH ₃)CO ₂	Ph
	1283	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
40	1284	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
	1285	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
	1286	F	Cl	SCH(CH ₃)CO ₂	3-Py
	1287	F	Cl	S	H
	1288	F	Cl	S	CH ₃
45	1289	F	Cl	S	C ₂ H ₅
	1290	F	Cl	S	Ph
	1291	F	Cl	S	CH ₂ Ph
	1292	F	Cl	S	Ph-4-Cl
	1293	F	Cl	CH=C(Cl)CO ₂	H
50	1294	F	Cl	CH ₂ CH(Cl)CO ₂	H
	1295	Cl	Cl	O	H
	1296	Cl	Cl	OCH ₂ CO ₂	H

1297	Cl	Cl	CH=C(Cl)CO ₂	H
1298	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
1299	Cl	Cl	SCH ₂ CO ₂	H
1300	Cl	Cl	SCH(CH ₃)CO ₂	H
1301	Cl	Cl	CO ₂	H
1302	H	Cl	O	H
1303	H	Cl	OCH ₂ CO ₂	H
1304	H	Cl	OCH(CH ₃)CO ₂	H
1305	H	Cl	SCH ₂ CO ₂	H
1306	H	Cl	CH=C(Cl)CO ₂	H
1307	H	Cl	CH ₂ CH(Cl)CO ₂	H
1308	H	Cl	CO ₂	H
1309	F	Cl	OCH ₂ CO ₂	Ph-4-F
1310	F	Cl	SCH ₂ CO ₂	Ph-4-F

Table 18



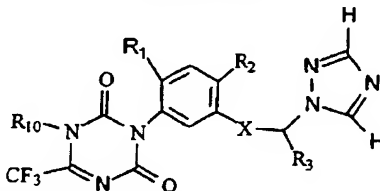
where A=B=N, R₄=R₅=H, Q=Q12 in a compound of formula I

No	R ₁	R ₂	X	R ₃	R ₁₀
1311	F	Cl	CO ₂	H	CH ₃
1312	F	Cl	CO ₂	CH ₃	CH ₃
1313	F	Cl	CO ₂	C ₂ H ₅	CH ₃
1314	F	Cl	CO ₂	H	NH ₂
1315	F	Cl	CO ₂	CH ₃	NH ₂
1316	F	Cl	CO ₂	C ₂ H ₅	NH ₂
1317	F	Cl	CO ₂	CN	NH ₂
1318	F	Cl	CO ₂	CN	CH ₃
1319	F	Cl	OCH ₂ CO ₂	H	CH ₃
1320	F	Cl	OCH ₂ CO ₂	CH ₃	CH ₃
1321	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	CH ₃
1322	F	Cl	OCH ₂ CO ₂	H	NH ₂
1323	F	Cl	OCH ₂ CO ₂	CH ₃	NH ₂
1324	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	NH ₂
1325	F	Cl	OCH ₂ CO ₂	CN	NH ₂
1326	F	Cl	OCH ₂ CO ₂	CN	CH ₃
1327	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1328	F	Cl	OCH(CH ₃)CO ₂	CH ₃	CH ₃
1329	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
1330	F	Cl	OCH(CH ₃)CO ₂	H	NH ₂
1331	F	Cl	OCH(CH ₃)CO ₂	CH ₃	NH ₂
1332	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂

1333	F	Cl	OCH(CH ₃)CO ₂	CN	NH ₂
1334	F	Cl	O	H	H
1335	F	Cl	O	H	CH ₃
1336	F	Cl	O	CH ₃	CH ₃
1337	F	Cl	O	C ₂ H ₅	CH ₃
1338	F	Cl	O	H	NH ₂
1339	F	Cl	O	CH ₃	NH ₂
1340	F	Cl	O	C ₂ H ₅	NH ₂
1341	F	Cl	O	CN	NH ₂
1342	F	Cl	O	CN	CH ₃
1343	F	Cl	SCH ₂ CO ₂	H	CH ₃
1344	F	Cl	SCH ₂ CO ₂	CH ₃	CH ₃
1345	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	CH ₃
1346	F	Cl	SCH ₂ CO ₂	H	NH ₂
1347	F	Cl	SCH ₂ CO ₂	CH ₃	NH ₂
1348	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	NH ₂
1349	F	Cl	SCH ₂ CO ₂	CN	NH ₂
1350	F	Cl	SCH ₂ CO ₂	CN	CH ₃
1351	F	H	SCH(CH ₃)CO ₂	H	CH ₃
1352	F	Cl	SCH(CH ₃)CO ₂	CH ₃	CH ₃
1353	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
1354	F	Cl	SCH(CH ₃)CO ₂	H	NH ₂
1355	F	Cl	SCH(CH ₃)CO ₂	CH ₃	NH ₂
1356	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
1357	F	Cl	CH=C(Cl)CO ₂	H	NH ₂
1358	F	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1359	F	Cl	CH=C(Cl)CO ₂	CN	NH ₂
1360	F	Cl	CH ₂ CH(Cl)CO ₂	CN	NH ₂
1361	F	Cl	S	H	CH ₃
1362	F	Cl	S	H	NH ₂
1363	F	Cl	CH=C(Cl)CO ₂	H	CH ₃
1364	F	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1365	F	Cl	CH=C(Cl)CO ₂	CN	CH ₃
1366	F	Cl	CH ₂ CH(Cl)CO ₂	CN	CH ₃
1367	Cl	Cl	O	H	NH ₂
1368	Cl	Cl	OCH ₂ CO ₂	H	CH ₃
1369	Cl	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1370	Cl	Cl	SCH ₂ CO ₂	H	CH ₃
1371	Cl	Cl	CH=C(Cl)CO ₂	H	CH ₃
1372	Cl	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1373	Cl	Cl	CO ₂	H	CH ₃
1374	H	Cl	O	H	CH ₃
1375	H	Cl	OCH ₂ CO ₂	H	CH ₃
1376	H	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1377	H	Cl	SCH ₂ CO ₂	H	CH ₃
1378	H	Cl	CH=C(Cl)CO ₂	H	NH ₂
1379	H	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1380	H	Cl	CO ₂	H	NH ₂

1381	H	Cl	OCH ₂ CO ₂	H	NH ₂
1382	H	Cl	SCH ₂ CO ₂	H	NH ₂

Table 19

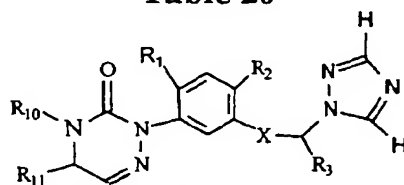


where A=B=N, R₄=R₅=H, Q=Q13 in a compound of formula I

No	R ₁	R ₂	X	R ₃	R ₁₀
1383	F	Cl	CO ₂	H	CH ₃
1384	F	Cl	CO ₂	CH ₃	CH ₃
1385	F	Cl	CO ₂	C ₂ H ₅	CH ₃
1386	F	Cl	CO ₂	H	NH ₂
1387	F	Cl	CO ₂	CH ₃	NH ₂
1388	F	Cl	CO ₂	C ₂ H ₅	NH ₂
1389	F	Cl	CO ₂	CN	NH ₂
1390	F	Cl	CO ₂	CN	CH ₃
1391	F	Cl	OCH ₂ CO ₂	H	CH ₃
1392	F	Cl	OCH ₂ CO ₂	CH ₃	CH ₃
1393	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	CH ₃
1394	F	Cl	OCH ₂ CO ₂	H	NH ₂
1395	F	Cl	OCH ₂ CO ₂	CH ₃	NH ₂
1396	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	NH ₂
1397	F	Cl	OCH ₂ CO ₂	CN	NH ₂
1398	F	Cl	OCH ₂ CO ₂	CN	CH ₃
1399	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1400	F	Cl	OCH(CH ₃)CO ₂	CH ₃	CH ₃
1401	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
1402	F	Cl	OCH(CH ₃)CO ₂	H	NH ₂
1403	F	Cl	OCH(CH ₃)CO ₂	CH ₃	NH ₂
1404	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
1405	F	Cl	OCH(CH ₃)CO ₂	CN	NH ₂
1406	F	Cl	OCH(CH ₃)CO ₂	CN	CH ₃
1407	F	Cl	O	H	CH ₃
1408	F	Cl	O	CH ₃	CH ₃
1409	F	Cl	O	C ₂ H ₅	CH ₃
1410	F	Cl	O	H	NH ₂
1411	F	Cl	O	CH ₃	NH ₂
1412	F	Cl	O	C ₂ H ₅	NH ₂
1413	F	Cl	O	CN	NH ₂
1414	F	Cl	O	CN	CH ₃
1415	F	Cl	SCH ₂ CO ₂	H	CH ₃
1416	F	Cl	SCH ₂ CO ₂	CH ₃	CH ₃

1417	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	CH ₃
1418	F	Cl	SCH ₂ CO ₂	H	NH ₂
1419	F	Cl	SCH ₂ CO ₂	CH ₃	NH ₂
1420	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	NH ₂
1421	F	Cl	SCH ₂ CO ₂	CN	NH ₂
1422	F	Cl	SCH ₂ CO ₂	CN	CH ₃
1423	F	H	SCH(CH ₃)CO ₂	H	CH ₃
1424	F	Cl	SCH(CH ₃)CO ₂	CH ₃	CH ₃
1425	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
1426	F	Cl	SCH(CH ₃)CO ₂	H	NH ₂
1427	F	Cl	SCH(CH ₃)CO ₂	CH ₃	NH ₂
1428	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
1429	F	Cl	CH=C(Cl)CO ₂	H	NH ₂
1430	F	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1431	F	Cl	CH=C(Cl)CO ₂	CN	NH ₂
1432	F	Cl	CH ₂ CH(Cl)CO ₂	CN	NH ₂
1433	F	Cl	S	H	CH ₃
1434	F	Cl	S	H	NH ₂
1435	F	Cl	CH=C(Cl)CO ₂	H	CH ₃
1436	F	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1437	F	Cl	CH=C(Cl)CO ₂	CN	CH ₃
1438	F	Cl	CH ₂ CH(Cl)CO ₂	CN	CH ₃
1439	Cl	Cl	O	H	NH ₂
1440	Cl	Cl	OCH ₂ CO ₂	H	CH ₃
1441	Cl	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1442	Cl	Cl	SCH ₂ CO ₂	H	CH ₃
1443	Cl	Cl	CH=C(Cl)CO ₂	H	CH ₃
1444	Cl	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1445	Cl	Cl	CO ₂	H	CH ₃
1446	H	Cl	O	H	CH ₃
1447	H	Cl	OCH ₂ CO ₂	H	CH ₃
1448	H	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1449	H	Cl	SCH ₂ CO ₂	H	CH ₃
1450	H	Cl	CH=C(Cl)CO ₂	H	NH ₂
1451	H	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1452	H	Cl	CO ₂	H	NH ₂
1453	H	Cl	OCH ₂ CO ₂	H	NH ₂
1454	H	Cl	SCH ₂ CO ₂	H	NH ₂

Table 20

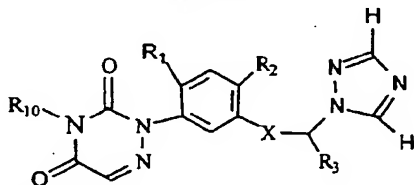


where A=B=N, R₄=R₅=H, R₁₁=CH₃, Q=Q14 in a compound of formula I

No	R ₁	R ₂	X	R ₃	R ₁₀
1455	F	Cl	CO ₂	H	CH ₃
1456	F	Cl	CO ₂	CH ₃	CH ₃
1457	F	Cl	CO ₂	C ₂ H ₅	CH ₃
1458	F	Cl	CO ₂	H	NH ₂
1459	F	Cl	CO ₂	CH ₃	NH ₂
1460	F	Cl	CO ₂	C ₂ H ₅	NH ₂
1461	F	Cl	CO ₂	CN	NH ₂
1462	F	Cl	CO ₂	CN	CH ₃
1463	F	Cl	OCH ₂ CO ₂	H	CH ₃
1464	F	Cl	OCH ₂ CO ₂	CH ₃	CH ₃
1465	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	CH ₃
1466	F	Cl	OCH ₂ CO ₂	H	NH ₂
1467	F	Cl	OCH ₂ CO ₂	CH ₃	NH ₂
1468	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	NH ₂
1469	F	Cl	OCH ₂ CO ₂	CN	NH ₂
1470	F	Cl	OCH ₂ CO ₂	CN	CH ₃
1471	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1472	F	Cl	OCH(CH ₃)CO ₂	CH ₃	CH ₃
1473	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
1474	F	Cl	OCH(CH ₃)CO ₂	H	NH ₂
1475	F	Cl	OCH(CH ₃)CO ₂	CH ₃	NH ₂
1476	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
1477	F	Cl	OCH(CH ₃)CO ₂	CN	NH ₂
1478	F	Cl	OCH(CH ₃)CO ₂	CN	CH ₃
1479	F	Cl	O	H	CH ₃
1480	F	Cl	O	CH ₃	CH ₃
1481	F	Cl	O	C ₂ H ₅	CH ₃
1482	F	Cl	O	H	NH ₂
1483	F	Cl	O	CH ₃	NH ₂
1484	F	Cl	O	C ₂ H ₅	NH ₂
1485	F	Cl	O	CN	NH ₂
1486	F	Cl	O	CN	CH ₃
1487	F	Cl	SCH ₂ CO ₂	H	CH ₃
1488	F	Cl	SCH ₂ CO ₂	CH ₃	CH ₃
1489	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	CH ₃
1490	F	Cl	SCH ₂ CO ₂	H	NH ₂
1491	F	Cl	SCH ₂ CO ₂	CH ₃	NH ₂
1492	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	NH ₂
1493	F	Cl	SCH ₂ CO ₂	CN	NH ₂
1494	F	Cl	SCH ₂ CO ₂	CN	CH ₃
1495	F	H	SCH(CH ₃)CO ₂	H	CH ₃
1496	F	Cl	SCH(CH ₃)CO ₂	CH ₃	CH ₃
1497	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
1498	F	Cl	SCH(CH ₃)CO ₂	H	NH ₂
1499	F	Cl	SCH(CH ₃)CO ₂	CH ₃	NH ₂
1500	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
1501	F	Cl	CH=C(Cl)CO ₂	H	NH ₂

1502	F	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1503	F	Cl	CH=C(Cl)CO ₂	CN	NH ₂
1504	F	Cl	CH ₂ CH(Cl)CO ₂	CN	NH ₂
1505	F	Cl	S	H	CH ₃
1506	F	Cl	S	H	NH ₂
1507	F	Cl	CH=C(Cl)CO ₂	H	CH ₃
1508	F	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1509	F	Cl	CH=C(Cl)CO ₂	CN	CH ₃
1510	F	Cl	CH ₂ CH(Cl)CO ₂	CN	CH ₃
1511	Cl	Cl	O	H	NH ₂
1512	Cl	Cl	OCH ₂ CO ₂	H	CH ₃
1513	Cl	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1514	Cl	Cl	SCH ₂ CO ₂	H	CH ₃
1515	Cl	Cl	CH=C(Cl)CO ₂	H	CH ₃
1516	Cl	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1517	Cl	Cl	CO ₂	H	CH ₃
1518	H	Cl	O	H	CH ₃
1519	H	Cl	OCH ₂ CO ₂	H	CH ₃
1520	H	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1521	H	Cl	SCH ₂ CO ₂	H	CH ₃
1522	H	Cl	CH=C(Cl)CO ₂	H	NH ₂
1523	H	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1524	H	Cl	CO ₂	H	NH ₂
1525	H	Cl	OCH ₂ CO ₂	H	NH ₂
1526	H	Cl	SCH ₂ CO ₂	H	NH ₂

Table 21



where A=B=N, R₄=R₅=H, Q=Q15 in a compound of formula I

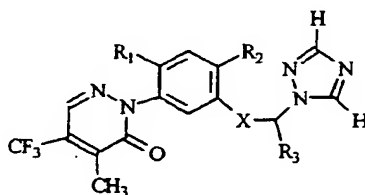
No	R ₁	R ₂	X	R ₃	R ₁₀
1527	F	Cl	CO ₂	H	CH ₃
1528	F	Cl	CO ₂	CH ₃	CH ₃
1529	F	Cl	CO ₂	C ₂ H ₅	CH ₃
1530	F	Cl	CO ₂	H	NH ₂
1531	F	Cl	CO ₂	CH ₃	NH ₂
1532	F	Cl	CO ₂	C ₂ H ₅	NH ₂
1533	F	Cl	CO ₂	CN	NH ₂
1534	F	Cl	CO ₂	CN	CH ₃
1535	F	Cl	OCH ₂ CO ₂	H	CH ₃
1536	F	Cl	OCH ₂ CO ₂	CH ₃	CH ₃
1537	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	CH ₃

	1538	F	Cl	OCH ₂ CO ₂	H	NH ₂
	1539	F	Cl	OCH ₂ CO ₂	CH ₃	NH ₂
5	1540	F	Cl	OCH ₂ CO ₂	C ₂ H ₅	NH ₂
	1541	F	Cl	OCH ₂ CO ₂	CN	NH ₂
	1542	F	Cl	OCH ₂ CO ₂	CN	CH ₃
	1543	F	Cl	OCH(CH ₃)CO ₂	H	CH ₃
10	1544	F	Cl	OCH(CH ₃)CO ₂	CH ₃	CH ₃
	1545	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
	1546	F	Cl	OCH(CH ₃)CO ₂	H	NH ₂
	1547	F	Cl	OCH(CH ₃)CO ₂	CH ₃	NH ₂
	1548	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
15	1549	F	Cl	OCH(CH ₃)CO ₂	CN	NH ₂
	1550	F	Cl	OCH(CH ₃)CO ₂	CN	CH ₃
	1551	F	Cl	O	H	CH ₃
	1552	F	Cl	O	CH ₃	CH ₃
	1553	F	Cl	O	C ₂ H ₅	CH ₃
20	1554	F	Cl	O	H	NH ₂
	1555	F	Cl	O	CH ₃	NH ₂
	1556	F	Cl	O	C ₂ H ₅	NH ₂
	1557	F	Cl	O	CN	NH ₂
	1558	F	Cl	O	CN	CH ₃
25	1559	F	Cl	SCH ₂ CO ₂	H	CH ₃
	1560	F	Cl	SCH ₂ CO ₂	CH ₃	CH ₃
	1561	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	CH ₃
	1562	F	Cl	SCH ₂ CO ₂	H	NH ₂
30	1563	F	Cl	SCH ₂ CO ₂	CH ₃	NH ₂
	1564	F	Cl	SCH ₂ CO ₂	C ₂ H ₅	NH ₂
	1565	F	Cl	SCH ₂ CO ₂	CN	NH ₂
	1566	F	Cl	SCH ₂ CO ₂	CN	CH ₃
	1567	F	H	SCH(CH ₃)CO ₂	H	CH ₃
35	1568	F	Cl	SCH(CH ₃)CO ₂	CH ₃	CH ₃
	1569	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	CH ₃
	1570	F	Cl	SCH(CH ₃)CO ₂	H	NH ₂
	1571	F	Cl	SCH(CH ₃)CO ₂	CH ₃	NH ₂
	1572	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅	NH ₂
40	1573	F	Cl	CH=C(Cl)CO ₂	H	NH ₂
	1574	F	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
	1575	F	Cl	CH=C(Cl)CO ₂	CN	NH ₂
	1576	F	Cl	CH ₂ CH(Cl)CO ₂	CN	NH ₂
45	1577	F	Cl	S	H	CH ₃
	1578	F	Cl	S	H	NH ₂
	1579	F	Cl	CH=C(Cl)CO ₂	H	CH ₃
	1580	F	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
	1581	F	Cl	CH=C(Cl)CO ₂	CN	CH ₃
50	1582	F	Cl	CH ₂ CH(Cl)CO ₂	CN	CH ₃
	1583	Cl	Cl	O	H	NH ₂
	1584	Cl	Cl	OCH ₂ CO ₂	H	CH ₃
	1585	Cl	Cl	OCH(CH ₃)CO ₂	H	CH ₃

55

1586	Cl	Cl	SCH ₂ CO ₂	H	CH ₃
1587	Cl	Cl	CH=C(Cl)CO ₂	H	CH ₃
1588	Cl	Cl	CH ₂ CH(Cl)CO ₂	H	CH ₃
1589	Cl	Cl	CO ₂	H	CH ₃
1590	H	Cl	O	H	CH ₃
1591	H	Cl	OCH ₂ CO ₂	H	CH ₃
1592	H	Cl	OCH(CH ₃)CO ₂	H	CH ₃
1593	H	Cl	SCH ₂ CO ₂	H	CH ₃
1594	H	Cl	CH=C(Cl)CO ₂	H	NH ₂
1595	H	Cl	CH ₂ CH(Cl)CO ₂	H	NH ₂
1596	H	Cl	CO ₂	H	NH ₂
1597	H	Cl	OCH ₂ CO ₂	H	NH ₂
1598	H	Cl	SCH ₂ CO ₂	H	NH ₂

Table 22



where A=B=N, R₄=R₅=H, Q=Q16 in a compound of formula I

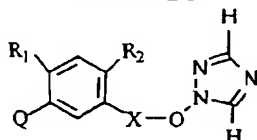
No	R ₁	R ₂	X	R ₃
1599	F	Cl	CO ₂	H
1600	F	Cl	CO ₂	CH ₃
1601	F	Cl	CO ₂	C ₂ H ₅
1602	F	Cl	CO ₂	Ph
1603	F	Cl	CO ₂	CH ₂ Ph
1604	F	Cl	CO ₂	Ph-4-Cl
1605	F	Cl	CO ₂	CH ₂ Ph-4-Cl
1606	F	Cl	CO ₂	3-Py
1607	F	Cl	OCH ₂ CO ₂	H
1608	F	Cl	OCH ₂ CO ₂	CH ₃
1609	F	Cl	OCH ₂ CO ₂	C ₂ H ₅
1610	F	Cl	OCH ₂ CO ₂	Ph
1611	F	Cl	OCH ₂ CO ₂	CH ₂ Ph
1612	F	Cl	OCH ₂ CO ₂	Ph-4-Cl
1613	F	Cl	OCH ₂ CO ₂	CH ₂ Ph-4-Cl
1614	F	Cl	OCH ₂ CO ₂	3-Py
1615	F	Cl	OCH(CH ₃)CO ₂	H
1616	F	Cl	OCH(CH ₃)CO ₂	CH ₃
1617	F	Cl	OCH(CH ₃)CO ₂	C ₂ H ₅
1618	F	Cl	OCH(CH ₃)CO ₂	Ph
1619	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph
1620	F	Cl	OCH(CH ₃)CO ₂	Ph-4-Cl
1621	F	Cl	OCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl

	1622	F	Cl	OCH(CH ₃)CO ₂	3-Py
	1623	F	Cl	O	H
5	1624	F	Cl	O	CH ₃
	1625	F	Cl	O	C ₂ H ₅
	1626	F	Cl	O	Ph
	1627	F	Cl	O	CH ₂ Ph
10	1628	F	Cl	O	Ph-4-Cl
	1629	F	Cl	O	CH ₂ Ph-4-Cl
	1630	F	Cl	O	3-Py
	1631	F	Cl	SCH ₂ CO ₂	H
	1632	F	Cl	SCH ₂ CO ₂	CH ₃
15	1633	F	Cl	SCH ₂ CO ₂	C ₂ H ₅
	1634	F	Cl	SCH ₂ CO ₂	Ph
	1635	F	Cl	SCH ₂ CO ₂	CH ₂ Ph
	1636	F	Cl	SCH ₂ CO ₂	Ph-4-Cl
	1637	F	Cl	SCH ₂ CO ₂	CH ₂ Ph-4-Cl
20	1638	F	Cl	SCH ₂ CO ₂	3-Py
	1639	F	H	SCH(CH ₃)CO ₂	H
	1640	F	Cl	SCH(CH ₃)CO ₂	CH ₃
	1641	F	Cl	SCH(CH ₃)CO ₂	C ₂ H ₅
25	1642	F	Cl	SCH(CH ₃)CO ₂	Ph
	1643	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph
	1644	F	Cl	SCH(CH ₃)CO ₂	Ph-4-Cl
	1645	F	Cl	SCH(CH ₃)CO ₂	CH ₂ Ph-4-Cl
	1646	F	Cl	SCH(CH ₃)CO ₂	3-Py
30	1647	F	Cl	S	H
	1648	F	Cl	S	CH ₃
	1649	F	Cl	S	C ₂ H ₅
	1650	F	Cl	S	Ph
	1651	F	Cl	S	CH ₂ Ph
35	1652	F	Cl	S	Ph-4-Cl
	1653	F	Cl	CH=C(Cl)CO ₂	H
	1654	F	Cl	CH ₂ CH(Cl)CO ₂	H
	1655	Cl	Cl	O	H
40	1656	Cl	Cl	OCH ₂ CO ₂	H
	1657	Cl	Cl	CH=C(Cl)CO ₂	H
	1658	Cl	Cl	CH ₂ CH(Cl)CO ₂	H
	1659	Cl	Cl	SCH ₂ CO ₂	H
	1660	Cl	Cl	SCH(CH ₃)CO ₂	H
45	1661	Cl	Cl	CO ₂	H
	1662	H	Cl	O	H
	1663	H	Cl	OCH ₂ CO ₂	H
	1664	H	Cl	OCH(CH ₃)CO ₂	H
	1665	H	Cl	SCH ₂ CO ₂	H
50	1666	H	Cl	CH=C(Cl)CO ₂	H
	1667	H	Cl	CH ₂ CH(Cl)CO ₂	H
	1668	H	Cl	CO ₂	H
	1669	F	Cl	OCH ₂ CO ₂	Ph-4-F

55

1670 F Cl SCH₂CO₂ Ph-4-F

Table 23



where A=R₄=R₅=H, A=B=N, R₁₀=CH₃, R₁₁=CH₃, Z=O in a compound of formula I

No	R ₁	R ₂	X	Q
1671	F	Cl	OCH(CH ₃)CO	Q1
1672	F	Cl	OCH(CH ₃)CO	Q2
1673	F	Cl	OCH(CH ₃)CO	Q3
1674	F	Cl	OCH(CH ₃)CO	Q4
1675	F	Cl	OCH(CH ₃)CO	Q5
1676	F	Cl	OCH(CH ₃)CO	Q6
1677	F	Cl	OCH(CH ₃)CO	Q7
1678	F	Cl	OCH(CH ₃)CO	Q8
1679	F	Cl	OCH(CH ₃)CO	Q9
1680	F	Cl	OCH(CH ₃)CO	Q10
1681	F	Cl	OCH(CH ₃)CO	Q11
1682	F	Cl	OCH(CH ₃)CO	Q12
1683	F	Cl	OCH(CH ₃)CO	Q13
1684	F	Cl	OCH(CH ₃)CO	Q14
1685	F	Cl	OCH(CH ₃)CO	Q16
1686	F	Cl	OCH ₂ CO	Q1
1687	F	Cl	OCH ₂ CO	Q2
1688	F	Cl	OCH ₂ CO	Q3
1689	F	Cl	OCH ₂ CO	Q4
1690	F	Cl	OCH ₂ CO	Q5
1691	F	Cl	OCH ₂ CO	Q6
1692	F	Cl	OCH ₂ CO	Q7
1693	F	Cl	OCH ₂ CO	Q8
1694	F	Cl	OCH ₂ CO	Q9
1695	F	Cl	OCH ₂ CO	Q10
1696	F	Cl	OCH ₂ CO	Q11
1697	F	Cl	OCH ₂ CO	Q12
1698	F	Cl	OCH ₂ CO	Q13
1699	F	Cl	OCH ₂ CO	Q14
1700	F	Cl	OCH ₂ CO	Q16
1701	F	Cl	CH ₂ CH(Cl)CO	Q1
1702	F	Cl	CH ₂ CH(Cl)CO	Q2
1703	F	Cl	CH ₂ CH(Cl)CO	Q3
1704	F	Cl	CH ₂ CH(Cl)CO	Q4
1705	F	Cl	CH ₂ CH(Cl)CO	Q5
1706	F	Cl	CH ₂ CH(Cl)CO	Q6
1707	F	Cl	CH ₂ CH(Cl)CO	Q7

	1708	F	Cl	CH ₂ CH(Cl)CO	Q8
	1709	F	Cl	CH ₂ CH(Cl)CO	Q9
5	1710	F	Cl	CH ₂ CH(Cl)CO	Q10
	1711	F	Cl	CH ₂ CH(Cl)CO	Q11
	1712	F	Cl	CH ₂ CH(Cl)CO	Q12
	1713	F	Cl	CH ₂ CH(Cl)CO	Q13
10	1714	F	Cl	CH ₂ CH(Cl)CO	Q14
	1715	F	Cl	CH ₂ CH(Cl)CO	Q16
	1716	F	Cl	CH=C(Cl)CO	Q1
	1717	F	Cl	CH=C(Cl)CO	Q2
	1718	F	Cl	CH=C(Cl)CO	Q3
15	1719	F	Cl	CH=C(Cl)CO	Q4
	1720	F	Cl	CH=C(Cl)CO	Q5
	1721	F	Cl	CH=C(Cl)CO	Q6
	1722	F	Cl	CH=C(Cl)CO	Q7
	1723	F	Cl	CH=C(Cl)CO	Q8
20	1724	F	Cl	CH=C(Cl)CO	Q9
	1725	F	Cl	CH=C(Cl)CO	Q10
	1726	F	Cl	CH=C(Cl)CO	Q11
	1727	F	Cl	CH=C(Cl)CO	Q12
25	1728	F	Cl	CH=C(Cl)CO	Q13
	1729	F	Cl	CH=C(Cl)CO	Q14
	1730	F	Cl	CH=C(Cl)CO	Q16
	1731	F	Cl	CO	Q1
	1732	F	Cl	CO	Q2
30	1733	F	Cl	CO	Q3
	1734	F	Cl	CO	Q4
	1735	F	Cl	CO	Q5
	1736	F	Cl	CO	Q6
	1737	F	Cl	CO	Q7
35	1738	F	Cl	CO	Q8
	1739	F	Cl	CO	Q9
	1740	F	Cl	CO	Q10
	1741	F	Cl	CO	Q11
40	1742	F	Cl	CO	Q12
	1743	F	Cl	CO	Q13
	1744	F	Cl	CO	Q14
	1745	F	Cl	CO	Q16
	1746	F	Cl	SCH ₂ CO	Q1
45	1747	F	Cl	SCH ₂ CO	Q2
	1748	F	Cl	SCH ₂ CO	Q3
	1749	F	Cl	SCH ₂ CO	Q4
	1750	F	Cl	SCH ₂ CO	Q5
	1751	F	Cl	SCH ₂ CO	Q6
50	1752	F	Cl	SCH ₂ CO	Q7
	1753	F	Cl	SCH ₂ CO	Q8
	1754	F	Cl	SCH ₂ CO	Q9
	1755	F	Cl	SCH ₂ CO	Q10

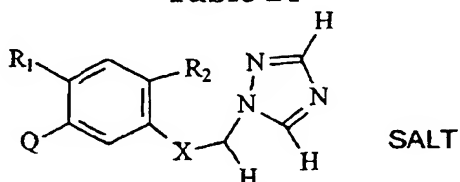
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1756	F	Cl	SCH ₂ CO	Q11
1757	F	Cl	SCH ₂ CO	Q12
1758	F	Cl	SCH ₂ CO	Q13
1759	F	Cl	SCH ₂ CO	Q14
1760	F	Cl	SCH ₂ CO	Q16
1761	Cl	Cl	CH=C(Cl)CO	Q1
1762	Cl	Cl	CH=C(Cl)CO	Q3
1763	Cl	Cl	CH=C(Cl)CO	Q6
1764	Cl	Cl	CH=C(Cl)CO	Q7
1765	Cl	Cl	CH=C(Cl)CO	Q8
1766	Cl	Cl	CH=C(Cl)CO	Q10
1767	Cl	Cl	CH=C(Cl)CO	Q11
1768	Cl	Cl	CH=C(Cl)CO	Q12
1769	Cl	Cl	CH=C(Cl)CO	Q16
1770	Cl	Cl	CH ₂ CH(Cl)CO	Q1
1771	Cl	Cl	CH ₂ CH(Cl)CO	Q3
1772	Cl	Cl	CH ₂ CH(Cl)CO	Q6
1773	Cl	Cl	CH ₂ CH(Cl)CO	Q7
1774	Cl	Cl	CH ₂ CH(Cl)CO	Q8
1775	Cl	Cl	CH ₂ CH(Cl)CO	Q10
1776	Cl	Cl	CH ₂ CH(Cl)CO	Q11
1777	Cl	Cl	CH ₂ CH(Cl)CO	Q12
1778	Cl	Cl	CH ₂ CH(Cl)CO	Q16
1779	Cl	Cl	OCH ₂ CO	Q1
1780	Cl	Cl	OCH ₂ CO	Q3
1781	Cl	Cl	OCH ₂ CO	Q6
1782	Cl	Cl	OCH ₂ CO	Q7
1783	Cl	Cl	OCH ₂ CO	Q8
1784	Cl	Cl	OCH ₂ CO	Q10
1785	Cl	Cl	OCH ₂ CO	Q11
1786	Cl	Cl	OCH ₂ CO	Q12
1787	Cl	Cl	OCH ₂ CO	Q16
1788	Cl	Cl	SCH ₂ CO	Q1
1789	Cl	Cl	SCH ₂ CO	Q3
1790	Cl	Cl	SCH ₂ CO	Q6
1791	Cl	Cl	SCH ₂ CO	Q7
1792	Cl	Cl	SCH ₂ CO	Q8
1793	Cl	Cl	SCH ₂ CO	Q10
1794	Cl	Cl	SCH ₂ CO	Q11
1795	Cl	Cl	SCH ₂ CO	Q12
1796	Cl	Cl	SCH ₂ CO	Q16
1797	Cl	Cl	CO	Q1
1798	Cl	Cl	CO	Q3
1799	Cl	Cl	CO	Q6
1800	Cl	Cl	CO	Q7
1801	Cl	Cl	CO	Q8
1802	Cl	Cl	CO	Q10
1803	Cl	Cl	CO	Q11

	1804	Cl	Cl	CO	Q12
	1805	Cl	Cl	CO	Q16
5	1806	Cl	Cl	OCH(CH ₃)CO	Q1
	1807	Cl	Cl	OCH(CH ₃)CO	Q3
	1808	Cl	Cl	OCH(CH ₃)CO	Q6
	1809	Cl	Cl	OCH(CH ₃)CO	Q7
10	1810	Cl	Cl	OCH(CH ₃)CO	Q8
	1811	Cl	Cl	OCH(CH ₃)CO	Q10
	1812	Cl	Cl	OCH(CH ₃)CO	Q11
	1813	Cl	Cl	OCH(CH ₃)CO	Q12
	1814	Cl	Cl	OCH(CH ₃)CO	Q16
15	1815	H	Cl	CH=C(Cl)CO	Q1
	1816	H	Cl	CH=C(Cl)CO	Q3
	1817	H	Cl	CH=C(Cl)CO	Q6
	1818	H	Cl	CH=C(Cl)CO	Q7
	1819	H	Cl	CH=C(Cl)CO	Q8
20	1820	H	Cl	CH=C(Cl)CO	Q10
	1821	H	Cl	CH=C(Cl)CO	Q11
	1822	H	Cl	CH=C(Cl)CO	Q12
	1823	H	Cl	CH=C(Cl)CO	Q16
25	1824	H	Cl	CH ₂ CH(Cl)CO	Q1
	1825	H	Cl	CH ₂ CH(Cl)CO	Q3
	1826	H	Cl	CH ₂ CH(Cl)CO	Q6
	1827	H	Cl	CH ₂ CH(Cl)CO	Q7
	1828	H	Cl	CH ₂ CH(Cl)CO	Q8
30	1829	H	Cl	CH ₂ CH(Cl)CO	Q10
	1830	H	Cl	CH ₂ CH(Cl)CO	Q11
	1831	H	Cl	CH ₂ CH(Cl)CO	Q12
	1832	H	Cl	CH ₂ CH(Cl)CO	Q16
35	1833	H	Cl	OCH ₂ CO	Q1
	1834	H	Cl	OCH ₂ CO	Q3
	1835	H	Cl	OCH ₂ CO	Q6
	1836	H	Cl	OCH ₂ CO	Q7
	1837	H	Cl	OCH ₂ CO	Q8
40	1838	H	Cl	OCH ₂ CO	Q10
	1839	H	Cl	OCH ₂ CO	Q11
	1840	H	Cl	OCH ₂ CO	Q12
	1841	H	Cl	OCH ₂ CO	Q16
45	1842	H	Cl	SCH ₂ CO	Q1
	1843	H	Cl	SCH ₂ CO	Q3
	1844	H	Cl	SCH ₂ CO	Q6
	1845	H	Cl	SCH ₂ CO	Q7
	1846	H	Cl	SCH ₂ CO	Q8
	1847	H	Cl	SCH ₂ CO	Q10
50	1848	H	Cl	SCH ₂ CO	Q11
	1849	H	Cl	SCH ₂ CO	Q12
	1850	H	Cl	SCH ₂ CO	Q16
55	1851	H	Cl	CO	Q1

1852	H	Cl	CO	Q3
1853	H	Cl	CO	Q6
1854	H	Cl	CO	Q7
1855	H	Cl	CO	Q8
1856	H	Cl	CO	Q10
1857	H	Cl	CO	Q11
1858	H	Cl	CO	Q12
1859	H	Cl	CO	Q16
1860	H	Cl	OCH(CH ₃)CO	Q1
1861	H	Cl	OCH(CH ₃)CO	Q3
1862	H	Cl	OCH(CH ₃)CO	Q6
1863	H	Cl	OCH(CH ₃)CO	Q7
1864	H	Cl	OCH(CH ₃)CO	Q8
1865	H	Cl	OCH(CH ₃)CO	Q10
1866	H	Cl	OCH(CH ₃)CO	Q11
1867	H	Cl	OCH(CH ₃)CO	Q12
1868	H	Cl	OCH(CH ₃)CO	Q16

Table 24



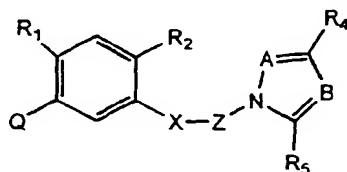
where $R_3=R_4=R_5=H$, $A=B=N$, $R_{10}=CH_3$ in a compound of formula I

No	R ₁	R ₂	X	Q	Salt
1869	F	Cl	O	Q1	HCl
1870	F	Cl	OCH ₂ CO ₂	Q1	HCl
1871	F	Cl	SCH ₂ CO ₂	Q1	HCl
1872	F	Cl	CO ₂	Q1	HCl
1873	F	Cl	CH ₂ CH(Cl)CO ₂	Q1	HCl
1874	F	Cl	CH=C(Cl)CO ₂	Q1	HCl
1875	F	Cl	OCH ₂ CO ₂	Q1	H ₃ PO ₄
1876	F	Cl	OCH ₂ CO ₂	Q1	CH ₃ CO ₂ H
1877	F	Cl	OCH ₂ CO ₂	Q1	HCO ₂ CO ₂ H
1878	F	Cl	SCH ₂ CO ₂	Q1	HCO ₂ CO ₂ H
1879	F	Cl	CO ₂	Q1	HCO ₂ CO ₂ H
1880	F	Cl	CH ₂ CH(Cl)CO ₂	Q1	HCO ₂ CO ₂ H
1881	F	Cl	CH=C(Cl)CO ₂	Q1	HCO ₂ CO ₂ H
1882	Cl	Cl	O	Q1	HCl
1883	Cl	Cl	OCH ₂ CO ₂	Q1	HCl
1884	Cl	Cl	SCH ₂ CO ₂	Q1	HCl
1885	Cl	Cl	CO ₂	Q1	HCl
1886	Cl	Cl	CH ₂ CH(Cl)CO ₂	Q1	HCl
1887	Cl	Cl	CH=C(Cl)CO ₂	Q1	HCl

	1888	Cl	Cl	O	Q1	HCO ₂ CO ₂ H
	1889	Cl	Cl	OCH ₂ CO ₂	Q1	HCO ₂ CO ₂ H
5	1890	Cl	Cl	SCH ₂ CO ₂	Q1	HCO ₂ CO ₂ H
	1891	Cl	Cl	CO ₂	Q1	HCO ₂ CO ₂ H
	1892	Cl	Cl	CH ₂ CH(Cl)CO ₂	Q1	HCO ₂ CO ₂ H
	1893	Cl	Cl	CH=C(Cl)CO ₂	Q1	HCO ₂ CO ₂ H
10	1894	H	Cl	O	Q1	HCl
	1895	H	Cl	OCH ₂ CO ₂	Q1	HCl
	1896	H	Cl	SCH ₂ CO ₂	Q1	HCl
	1897	H	Cl	CO ₂	Q1	HCl
	1898	H	Cl	CH ₂ CH(Cl)CO ₂	Q1	HCl
15	1899	H	Cl	CH=C(Cl)CO ₂	Q1	HCl
	1900	H	Cl	O	Q1	HCO ₂ CO ₂ H
	1901	H	Cl	OCH ₂ CO ₂	Q1	HCO ₂ CO ₂ H
	1902	H	Cl	SCH ₂ CO ₂	Q1	HCO ₂ CO ₂ H
	1903	H	Cl	CO ₂	Q1	HCO ₂ CO ₂ H
20	1904	H	Cl	CH ₂ CH(Cl)CO ₂	Q1	HCO ₂ CO ₂ H
	1905	H	Cl	CH=C(Cl)CO ₂	Q1	HCO ₂ CO ₂ H
	1906	F	Cl	O	Q3	HCl
	1907	F	Cl	OCH ₂ CO ₂	Q3	HCl
25	1908	F	Cl	SCH ₂ CO ₂	Q3	HCl
	1909	F	Cl	CO ₂	Q3	HCl
	1910	F	Cl	CH ₂ CH(Cl)CO ₂	Q3	HCl
	1911	F	Cl	CH=C(Cl)CO ₂	Q3	HCl
	1912	F	Cl	O	Q7	HCl
30	1913	F	Cl	OCH ₂ CO ₂	Q7	HCl
	1914	F	Cl	SCH ₂ CO ₂	Q7	HCl
	1915	F	Cl	CO ₂	Q7	HCl
	1916	F	Cl	CH ₂ CH(Cl)CO ₂	Q7	HCl
	1917	F	Cl	CH=C(Cl)CO ₂	Q7	HCl
35	1918	F	Cl	O	Q8	HCl
	1919	F	Cl	OCH ₂ CO ₂	Q8	HCl
	1920	F	Cl	SCH ₂ CO ₂	Q8	HCl
	1921	F	Cl	CO ₂	Q8	HCl
	1922	F	Cl	CH ₂ CH(Cl)CO ₂	Q8	HCl
40	1923	F	Cl	CH=C(Cl)CO ₂	Q8	HCl
	1924	F	Cl	O	Q10	HCl
	1925	F	Cl	OCH ₂ CO ₂	Q10	HCl
	1926	F	Cl	SCH ₂ CO ₂	Q10	HCl
45	1927	F	Cl	CO ₂	Q10	HCl
	1928	F	Cl	CH ₂ CH(Cl)CO ₂	Q10	HCl
	1929	F	Cl	CH=C(Cl)CO ₂	Q10	HCl
	1930	F	Cl	O	Q11	HCl
	1931	F	Cl	OCH ₂ CO ₂	Q11	HCl
50	1932	F	Cl	SCH ₂ CO ₂	Q11	HCl
	1933	F	Cl	CO ₂	Q11	HCl
	1934	F	Cl	CH ₂ CH(Cl)CO ₂	Q11	HCl
	1935	F	Cl	CH=C(Cl)CO ₂	Q11	HCl

1936	Cl	Cl	O	Q12	HCl
1937	Cl	Cl	OCH ₂ CO ₂	Q12	HCl
1938	Cl	Cl	SCH ₂ CO ₂	Q12	HCl
1939	Cl	Cl	CO ₂	Q12	HCl
1940	Cl	Cl	CH ₂ CH(Cl)CO ₂	Q12	HCl
1941	Cl	Cl	CH=C(Cl)CO ₂	Q12	HCl

Table 25



where R₁₀=CH₃ when Q is Q12 in a compound of formula I

No	R ₁	R ₂	X	Z	R ₄	R ₅	Q	A	B
1942	F	Cl	NH	CO	H	H	Q1	N	N
1943	F	Cl	NH	CO	CH ₃	CH ₃	Q1	N	N
1944	F	Cl	NH	CO	H	SCH ₃	Q1	N	N
1945	F	Cl	NH	CO	H	CO ₂ CH ₃	Q1	N	N
1946	F	Cl	NH	CO	Ph-4-Cl	H	Q1	N	N
1947	F	Cl	NH	CO	CH ₃	CO ₂ CH ₃	Q1	N	N
1948	F	Cl	NH	CO	H	H	Q1	N	CH
1949	F	Cl	NH	CO	CH ₃	CH ₃	Q1	N	CCO ₂ CH ₃
1950	F	Cl	NH	CO	Cl	Cl	Q1	N	CCONMe ₂
1951	F	Cl	NH	CO	C ₂ H ₅	CO ₂ CH ₃	Q1	N	CH
1952	F	Cl	NH	CO	CH ₃	CONHPh	Q1	N	CH
1953	F	Cl	NH	CO	Ph-4-Cl	CO ₂ NMe ₂	Q1	N	CCl
1954	F	Cl	NH	CO	H	H	Q1	CH	N
1955	F	Cl	NSO ₂ CH ₃	CO	H	H	Q1	N	N
1956	F	Cl	NSO ₂ CH ₃	CO	H	H	Q1	N	CH
1957	F	CN	NSO ₂ CH ₃	CO	H	H	Q1	CH	N
1958	F	Cl	NSO ₂ CF ₃	CO	H	H	Q1	N	N
1959	F	CN	NSO ₂ CF ₃	CO	H	H	Q1	N	CH
1960	F	Cl	NSO ₂ CF ₃	CO	H	H	Q1	CH	N
1961	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q1	N	N
1962	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q1	N	CH
1963	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q1	CH	N
1964	F	Cl	NSO ₂ CF ₃	CH ₂	H	H	Q1	N	N
1965	F	Cl	NSO ₂ CF ₃	CH ₂	H	H	Q1	N	CH
1966	F	Cl	NSO ₂ CF ₃	CH ₂	H	H	Q1	CH	N
1967	F	Cl	NSO ₂ CH ₃	CO	H	H	Q2	N	N
1968	F	CN	NSO ₂ CH ₃	CO	H	H	Q2	N	CH
1969	F	Cl	NSO ₂ CH ₃	CO	H	H	Q2	CH	N
1970	F	Cl	NSO ₂ CF ₃	CO	H	H	Q2	N	N
1971	F	Cl	NSO ₂ CF ₃	CO	H	H	Q2	N	CH

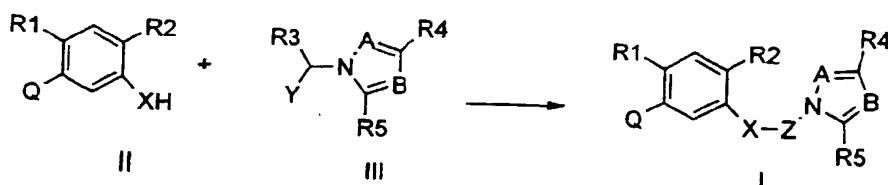
1972	F	CN	NSO ₂ CF ₃	CO	H	H	Q2	CH	N
1973	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q2	N	N
1974	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q2	N	CH
1975	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q2	CH	N
1976	F	Cl	NSO ₂ CF ₃	CH ₂	H	H	Q2	N	N
1977	F	CN	NSO ₂ CF ₃	CH ₂	H	H	Q2	N	CH
1978	F	Cl	NSO ₂ CF ₃	CH ₂	H	H	Q2	CH	N
1979	F	CN	NSO ₂ CH ₃	CO	H	H	Q3	N	CH
1980	F	Cl	NSO ₂ CH ₃	CO	H	H	Q3	CH	N
1981	F	Cl	NSO ₂ CF ₃	CO	H	H	Q3	N	N
1982	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q3	N	N
1983	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q3	N	CH
1984	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q3	CH	N
1985	F	Cl	NSO ₂ CH ₃	CO	H	H	Q7	N	CH
1986	F	Cl	NSO ₂ CH ₃	CO	H	H	Q7	CH	N
1987	F	Cl	NSO ₂ CH ₃	CO	H	H	Q7	N	N
1988	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q7	N	N
1989	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q7	N	CH
1990	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q7	CH	N
1991	F	Cl	NSO ₂ CH ₃	CO	H	H	Q8	N	N
1992	F	Cl	NSO ₂ CH ₃	CO	H	H	Q8	CH	N
1993	F	Cl	NSO ₂ CH ₃	CO	H	H	Q8	N	CH
1994	F	Cl	NSO ₂ CF ₃	CO	H	H	Q8	N	N
1995	F	Cl	NSO ₂ CF ₃	CO	H	H	Q8	CH	N
1996	F	Cl	NSO ₂ CF ₃	CO	H	H	Q8	N	CH
1997	F	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	N	N
1998	F	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	CH	N
1999	F	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	N	CH
2000	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q8	N	N
2001	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q8	CH	N
2002	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q8	N	CH
2003	Cl	Cl	NSO ₂ CF ₃	CO	H	H	Q8	N	N
2004	Cl	Cl	NSO ₂ CF ₃	CO	H	H	Q8	CH	N
2005	Cl	Cl	NSO ₂ CF ₃	CO	H	H	Q8	N	CH
2006	Cl	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	N	N
2007	Cl	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	CH	N
2008	Cl	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	N	CH
2009	F	Cl	CH ₂ C(Cl)	CO	H	H	Q8	N	N
2010	F	Cl	CH ₂ C(Cl)	CO	H	H	Q8	CH	N
2011	F	Cl	CH ₂ C(Cl)	CO	H	H	Q8	N	CH
2012	F	Cl	CH ₂ C(Cl)	CO	H	H	Q1	N	N
2013	F	Cl	CH ₂ C(Cl)	CO	H	H	Q1	CH	N
2014	F	Cl	CH ₂ C(Cl)	CO	H	H	Q1	N	CH
2015	H	Cl	CH=C(Cl)	CO	H	H	Q1	N	N
2016	F	Cl	OCH ₂	CO	H	H	Q1	N	N
2017	F	Cl	SCH ₂	CO	H	H	Q3	N	N
2018	F	Cl	CH ₂ C(Cl)	CO	H	H	Q10	N	CH
2019	H	Cl	CH=C(Cl)	CO	H	H	Q10	N	N

2020	F	Cl	OCH ₂	CO	H	H	Q10	N	N
2021	F	Cl	SCH ₂	CO	H	H	Q10	N	N
2022	F	Cl	CH ₂ C(Cl)	CO	H	H	Q11	N	CH
2023	H	Cl	CH=C(Cl)	CO	H	H	Q11	N	N
2024	F	Cl	OCH ₂	CO	H	H	Q11	N	N
2025	F	Cl	SCH ₂	CO	H	H	Q11	N	N
2026	F	Cl	CH ₂ C(Cl)	CO	H	H	Q12	N	CH
2027	H	Cl	CH=C(Cl)	CO	H	H	Q12	N	N
2028	F	Cl	OCH ₂	CO	H	H	Q12	N	N
2029	F	Cl	SCH ₂	CO	H	H	Q12	N	N
2030	F	Cl	CH ₂ C(Cl)	CO	H	H	Q16	N	CH
2031	H	Cl	CH=C(Cl)	CO	H	H	Q16	N	N
2032	F	Cl	OCH ₂	CO	H	H	Q16	N	N
2033	F	Cl	SCH ₂	CO	H	H	Q16	N	N
2034	F	Cl	NSO ₂ CH ₃	CO	H	H	Q7	N	N
2035	F	Cl	NSO ₂ CH ₃	CO	H	H	Q10	N	N
2036	F	Cl	NSO ₂ CH ₃	CO	H	H	Q11	N	N
2037	F	Cl	NSO ₂ CH ₃	CO	H	H	Q12	N	N
2038	F	Cl	NSO ₂ CH ₃	CO	H	H	Q16	N	N
2039	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q7	N	N
2040	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q10	N	N
2041	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q11	N	N
2042	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q12	N	N
2043	F	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q16	N	N
2044	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q7	N	N
2045	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q10	N	N
2046	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q11	N	N
2047	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q12	N	N
2048	Cl	Cl	NSO ₂ CH ₃	CO	H	H	Q16	N	N
2049	Cl	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q7	N	N
2050	Cl	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q10	N	N
2051	Cl	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q11	N	N
2052	Cl	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q12	N	N
2053	Cl	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q16	N	N
2054	H	Cl	NSO ₂ CH ₃	CO	H	H	Q7	N	N
2055	H	Cl	NSO ₂ CH ₃	CO	H	H	Q10	N	N
2056	H	Cl	NSO ₂ CH ₃	CO	H	H	Q11	N	N
2057	H	Cl	NSO ₂ CH ₃	CO	H	H	Q12	N	N
2058	H	Cl	NSO ₂ CH ₃	CO	H	H	Q16	N	N
2059	H	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q7	N	N
2060	H	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q10	N	N
2061	H	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q11	N	N
2062	H	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q12	N	N
2063	H	Cl	NSO ₂ CH ₃	CH ₂	H	H	Q16	N	N
2064	H	Cl	NSO ₂ CH ₃	CO	H	H	Q8	N	N
2065	H	Cl	NSO ₂ CH ₃	CO	H	H	Q8	CH	N
2066	H	Cl	NSO ₂ CH ₃	CO	H	H	Q8	N	CH
2067	H	Cl	NSO ₂ CF ₃	CO	H	H	Q8	N	N

2068	H	Cl	NSO ₂ CF ₃	CO	H	H	Q8	CH	N
2069	H	Cl	NSO ₂ CF ₃	CO	H	H	Q8	N	CH
2070	H	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	N	N
2071	H	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	CH	N
2072	H	Cl	NSO ₂ Et	CO	CH ₃	CH ₃	Q8	N	CH

[0035] The compounds of formula I of the present invention can be prepared by the following processes:

Scheme 1



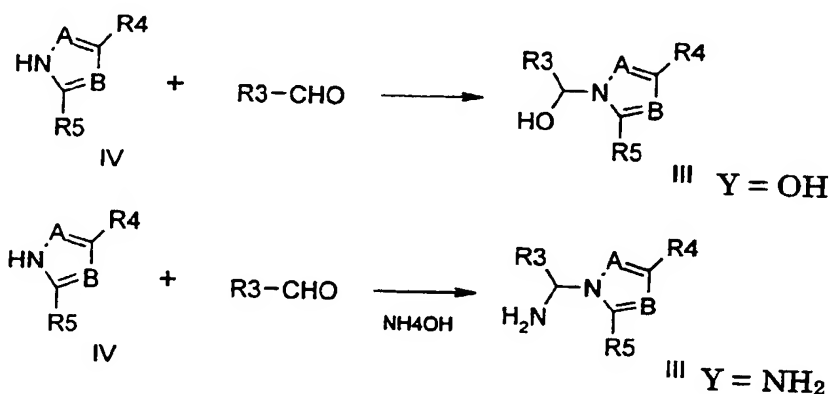
Ester and amide linkages

[0036] For structure II and III, where X is for example CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH₂CH(Cl)CO₂, CH=C(Cl)CO₂ and Y is for example OH, NH₂:

[0037] Compounds II or their sodium, potassium salts are reacted with the one of the following reagents: SOCl₂, (COCl)₂, COCl₂, POCl₃ or POCl₃ in a solvent such as chloroform, dichloromethane, carbon tetrachloride, hexane, benzene, toluene, tetrahydrofuran, dioxane, acetone or methyl ethyl ketone to yield an acid chloride. This acid chloride then reacted with intermediate III, (optionally in the presence of the bases such as Et₃N, pyridine, NaOH, KOH, Na₂CO₃, K₂CO₃, NaHCO₃) at a temperature from -40 °C to the boiling point of the solvent for 3 minutes to 8 hours to afford the final product I.

[0038] Intermediate II may be obtained from the ester (made by known methods such as those described in EP 0 083 055 A2) by reacting with K₂CO₃, NaOH, or KOH in ethanol, then with an inorganic acid such as hydrochloric acid.

[0039] Intermediate III (where R₃ is alkyl) may be obtained from the known reactions of an aldehyde with IV in the presence or absence of ammonium hydroxide.

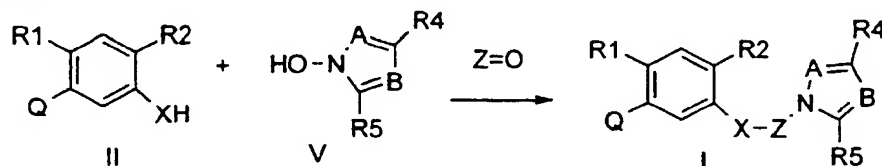


Ether, thioether, amine linkages

[0040] For structure II (where X is O, S, NH) and structure III (where Y=Cl, OSO₂CH₃, etc.), compounds II are

reacted with the intermediates III in the presence of bases such as Et₃N, pyridine, NaOH, KOH, Na₂CO₃, K₂CO₃, NaHCO₃, NaH, NaOCH₃, NaOC₂H₅, in a solvent such as tetrahydrofuran, dioxane, acetone, methyl ethyl ketone, acetonitrile, N,N-dimethylformamide at a temperature from -40°C to the boiling point of the solvent for 30 minutes to 18 hours to afford the final product I.

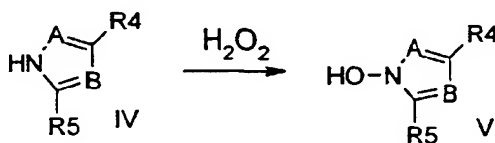
Scheme 2



[0041] For structure II where X is for example CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH₂CH(Cl)CO₂ or CH=C(Cl)CO₂:

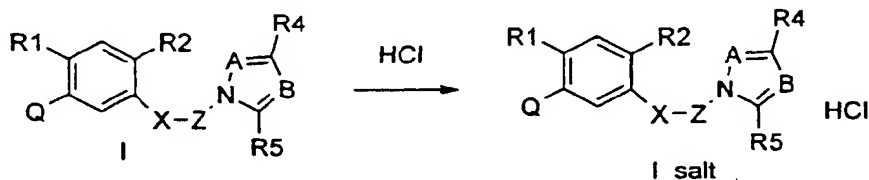
[0042] Compounds II or their sodium, potassium salts are reacted with the one of the following reagents: SOCl₂, (COCl)₂, COCl₂, PCl₃ or POCl₃ in a solvent such as chloroform, dichloromethane, carbon tetrachloride, hexane, benzene, toluene, tetrahydrofuran, dioxane, acetone or methyl ethyl ketone to yield an acid chloride. This acid chloride then reacted with intermediate V, (optionally in the presence of the bases such as Et₃N, pyridine, NaOH, KOH, Na₂CO₃, K₂CO₃ or NaHCO₃) at a temperature from -40 °C to the boiling point of the solvent for 3 minutes to 8 hours to afford the final product I.

[0043] Intermediates V were prepared by known methods, for example see EP 0 567 827 A1.



Scheme 3

[0044] The preparation of the salts of formula I, for example, is straightforward as shown:

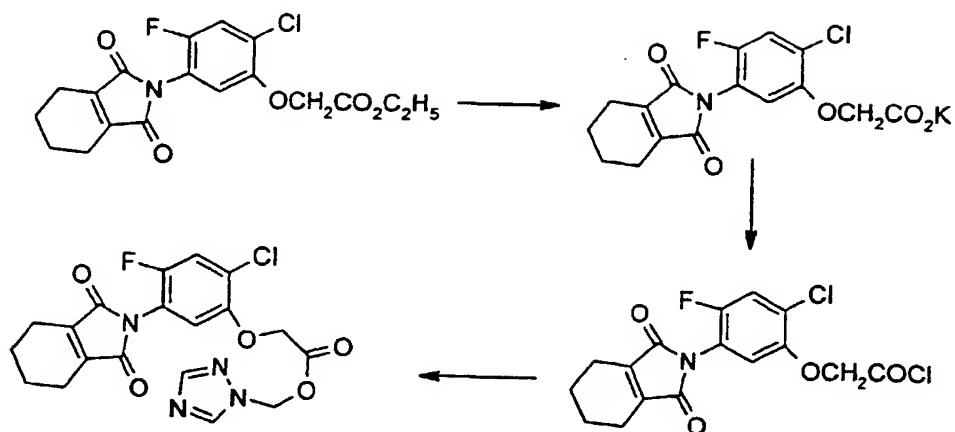


[0045] The salts of formula I can be prepared from I in ethanol or other solvent with HCl, CH₃CO₂H, H₃PO₄, HO₂CCO₂H etc. or other acids.

[0046] The present invention now will be described in further detail with reference to Examples in order to further guide its practitioner. However, it should be understood that the present invention is by no means restricted by these specific Examples.

PREPARATION EXAMPLE A (Compound No. 15)

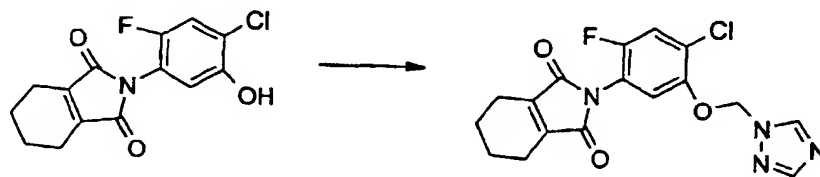
[0047]



[0048] 2-(4-Chloro-2-fluoro-5-ethoxycarbonylmethoxyphenyl)-4,5,6,7-tetrahydro-2H-isoindole-1,3-dione (4.0g, made substantially by the methods described in EP 0 083 055 A2) and KOH (85%, 2.1g) in 50ml ethanol were stirred at room temperature for 1 hour and then evaporated to dryness. To the residue was added 100ml chloroform and 8ml SOCl_2 . The reaction mixture was then heated to reflux for 2 hours. Potassium chloride was filtered and washed with fresh chloroform. The filtrate was evaporated to dryness. To the residue was added 50ml chloroform and 1.5g 1-hydroxymethyl-(1H)-1,2,4-triazole. The reaction mixture was then stirred at room temperature for 5 hours. Water was added to the mixture, the organic layer was separated and washed with water and brine, dried and concentrated. The residue was purified by silica gel column chromatography to give 2.0g of 2-(4-chloro-2-fluoro-5-[(1,2,4-triazolylmethoxy)-carbonylmethoxy]phenyl)-4,5,6,7-tetrahydro-2H-isoindole-1,3-dione (Compound No. 15). M.P. 151-154 °C.

PREPARATION EXAMPLE B (Compound No. 43)

[0049]

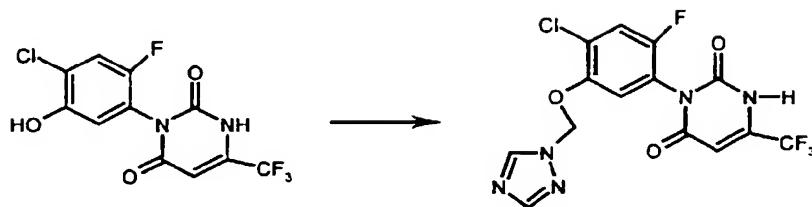


[0050] 2-(4-Chloro-2-fluoro-5-hydroxyphenyl)-4,5,6,7-tetrahydro-2H-isoindole-1,3-dione (4.0g, made by substantially following the methods of EP 0 083 055 A2), K_2CO_3 in 50 ml methyl ethyl ketone and 2.0g chloromethyl-1,2,4-triazole hydrochloride and then the mixture were heated to reflux for 5 hrs. After cooling the mixture was filtered and evaporated. Water and ethyl acetate were added to the residue. The organic layer was separated and washed with water and brine, dried and concentrated. The residue was purified by silica gel column chromatography to give 2.6g of 2-[(4-chloro-2-fluoro-5-[(1,2,4-triazol-1-yl)-methoxy]phenyl)-4,5,6,7-tetrahydro-2H-isoindole-1,3-dione (Compound No. 43) as an oil.

^1H NMR (CDCl_3): 8.32(s,1H), 8.01(s,1H), 7.28(d,2H), 7.06(d,2H), 6.04(s,2H), 2.44(bs,4H), 1.83(bs,4H).

PREPARATION EXAMPLE C (Compound No. 1334)

[0051]

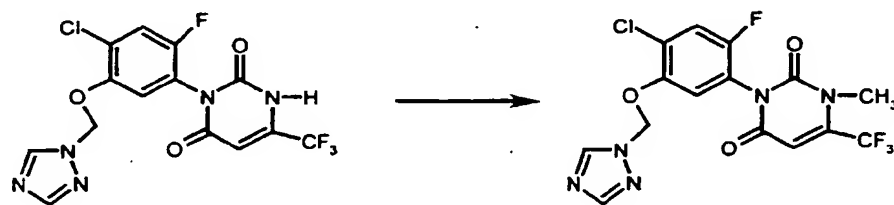


[0052] To a suspension of 60% NaH(0.5g) in 5 ml N,N-dimethylformamide was added 0.8g of 3-[4-chloro-2-fluoro-5-hydroxyphenyl]-6-trifluoromethyl-2,4-pyrimidione (made by substantially following the methods of EP 0 255 047 A1). After 10 minutes, 0.8g chloromethyl-1,2,4-triazole hydrochloride was added and then the mixture was heated at the bath of 80 °C for 8 hrs. After cooling, water and ethyl acetate were added to the mixture. The organic layer was separated and washed with water and brine, dried and concentrated. The residue was purified by silica gel column chromatography to give 0.75g of 3-[4-chloro-2-fluoro-5-[(1,2,4-triazol-1H-yl)-methoxy]phenyl]-6-trifluoromethyl-2,4-pyrimidione (compound 1334), mp. 216-219 °C

¹H NMR (acetone-D₆): 8.64(s,1H), 7.96(s,1H), 7.55(d,2H), 7.52(d,2H), 6.36(s,1H), 6.27(s,2H).

PREPARATION EXAMPLE D (Compound No. 1335)

[0053]

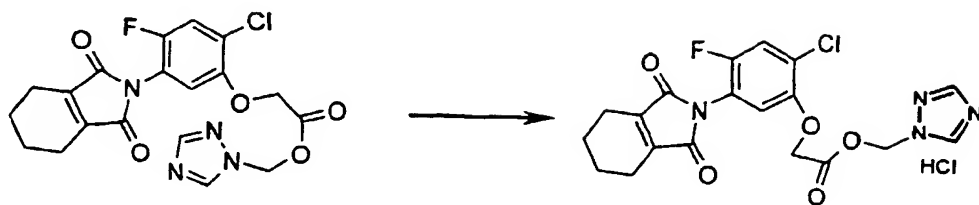


[0054] To a suspension of 60% NaH(0.08g) in 5 ml N,N-dimethylformamide was added 0.5g of 3-[4-chloro-2-fluoro-5-[(1,2,4-triazol-1H-yl)-methoxy]phenyl]-6-trifluoromethyl-2,4-pyrimidione (compound 1334). After 10 minutes, 0.2g of dimethyl sulfate was added and then the mixture was stirred at room temperature overnight. Water and ethyl acetate were added to the mixture. The organic layer was separated and washed with water and brine, dried and concentrated. The residue was purified by silica gel column chromatography to give 0.2g of 1-methyl-3-[4-chloro-2-fluoro-5-[(1,2,4-triazol-1H-yl)-methoxy]phenyl]-6-trifluoromethyl-2,4-pyrimidione (compound 1335).

¹H NMR (CDCl₃): 8.31(s,1H), 7.98(s,1H), 7.36(d,2H), 7.07(d,2H), 6.60(s,1H), 6.06(s,2H), 4.00(s,3H).

PREPARATION EXAMPLE E (Compound No. 1870)

[0055]



[0056] 2-[4-Chloro-2-fluoro-5-[(1,2,4-triazol-1H-yl)-methoxy]phenyl]-4,5,6,7-tetrahydro-2H-isoindole-1,3-dione (2.0g) and hydrochloride acid (36%, 0.7g) in 20ml ethanol were stirred at room temperature for 10 minutes and then evaporated to dryness. To the residue was added 5 ml acetone and the mixture was filtered and dried to obtain 1.6g of the hydrochloride salt of 2-[4-chloro-2-fluoro-5-[(1,2,4-triazol-1H-yl)methoxy]phenyl]-4,5,6,7-tetrahydro-2H-isoindole-1,3-dione (Compound No. 1870) as a solid.

¹H NMR (DMSO-D₆, 300MHz): 8.79(s,1H), 8.12(s,1H), 7.70(d,1H), 7.28(d,1H), 6.25(s,2H), 4.96(s,2H), 2.36(bs,4H), 1.75(bs,4H).

[0057] The compounds of formula I are useful as an active ingredient for herbicides. When the compound of formula I of the present invention is used as a herbicide, the active ingredient can be used in a suitable formulation depending upon the particular purpose and by a suitable application method. Usually, the active ingredient is diluted with an inert liquid or solid carrier, and used in the form of a formulation such as a dust, a wettable powder, an emulsifiable concentrate, aqueous or oil suspension, pellets, granules, etc., If desirable one may also add a surfactant and/or other additive. Furthermore, one of ordinary skill in the art will recognize that the compound of the present invention may be used in combination with an insecticide, a nematocide, a fungicide, other herbicides, a plant growth controlling agent, a fertilizer, etc.

[0058] The compounds of the present invention can be used in the form of compositions or formulations. Examples of the preparation of compositions and formulations can be found in the American Chemical Society publication "Pesticidal Formulation Research," (1969), Advances in Chemistry Series No. 86, written by Wade Van Valkenburg; and the Marcel Dekker, Inc. publication "Pesticide Formulations", (1973) edited by Wade Van Valkenburg. In these compositions and formulations, the active substance is mixed with conventional inert agronomically acceptable (i.e., plant compatible and/or pesticidally inert) pesticide diluents or extenders such as solid carrier material or liquid carrier material, of the type usable in conventional pesticide compositions or formulations. By "agronomically acceptable carrier" is meant any substance which can be used to dissolve, disperse or diffuse the active ingredient in the composition without impairing the active ingredient's effectiveness and which by itself has no significant detrimental effect on the soil, equipment, desirable plants, or agronomic environment. If desired, adjuvants such as surfactants, stabilizers, antifoam agents and anti-drift agents may also be combined. Compositions and formulations according to the present invention may also include known pesticidal compounds. This expands the spectrum of activity of the preparation and may give rise to synergism.

[0059] The formulations, contain from about 0.1% to 99% by weight of active ingredient(s) and at least one of (a) about 0.1% to 20% surfactant(s) and (b) about 1% to 99.9% solid or liquid diluent(s).

[0060] If the compound of formula(I) is formulated with an additional herbicide, the concentration of active ingredient(s) in the compositions can vary within a wide range, depending on the active compound, the applications for which they are destined, the environmental conditions and the kind of formulation. The concentration of active ingredient(s) in the compositions is generally between 1% to 95%, preferably between 5% to 60%.

[0061] The formulations now will be described in detail with reference to typical Formulation Examples and do not limit the scope of this invention. In the following Formulation Examples, "parts" means "parts by weight". The compound number of the active ingredient corresponds to the one in Tables 1-24.

55 Formulation Examples 1a-c

[0062] Compound No. 1, 15 or 43 (all 50 parts), 5 parts of polyoxyethylene alkylaryl ether, 5 parts of sodium dodecylbenzenesulfate and 40 parts of synthetic hydrated silicon dioxide are well mixed while being powdered in order

to obtain a 50% wettable powder.

Formulation Example 2

- 5 [0063] Compound No. 35 (10 parts), 6 parts of polyoxyethylene alkylaryl ether, 4 parts of sodium dodecylbenzenesulfate, 30 parts of xylene and 50 parts of cyclohexanone are well mixed while being powdered in order to obtain a 10% by weight emulsifiable concentrate.

Formulation Example 3

- 10 [0064] Compound No. 15 (20 parts), 2 parts of synthetic hydrated silicon dioxide, 3 parts of polyoxyethylene sorbitan monooleate, 5 parts of carboxymethyl cellulose and 70 parts of water are well mixed and pulverized until the particle size of the active ingredient becomes less than 5 microns in order to obtain a 20% by weight granule.

15 Formulation Example 4

- [0065] Compound No. 15 (5 parts), 1 part of isopropyl acid phosphate, 64 parts of kaolin clay and 30 parts of talc are well mixed and pulverized until the particle size of the active ingredient becomes less than 5 microns in order to obtain a 5% by weight dust.

20 Formulation Example 5

- [0066] Compound No. 15 (25 parts), 3 parts of polyoxyethylene sorbitan monooleate, 2 parts of polyvinyl alcohol and 70 parts of water are well mixed and pulverized until the particle size of the active ingredient becomes less than 5 microns in order to obtain a 25% an aqueous suspension.

- [0067] The effective dose of the compounds of the present invention is usually within a range of from 1g/ha to 3kg/ha, preferably from 5g/ha to 500g/ha.

Biological testing

- 30 [0068] The herbicidal activity of compounds of formula (I) with respect to weeds such as *Bidens pilosa* (beggartick, BID), *Solanum nigrum* (nightshade, NS), *Polygonum lapathifolium* (smartweed, SMT), *Abutilon theophrasti* (velvetleaf, VEL) was evaluated.

- [0069] For each compounds, the evaluation tests were carried out according to the following operating procedures.

- 35 [0070] For preemergence tests, immediately after planting, the test compound was sprayed directly onto the soil surface. The flats or pots were placed in the greenhouse and then watered. For postemergence tests, the seeds were allowed to germinate and grow for 10 to 21 days. Before application, each series of development. The test plants were selected for uniformity, size and stage of development. The test plants were then treated with the test compound, returned to the greenhouse and watered. The plants not treated with the compound under evaluation were used as a comparison.

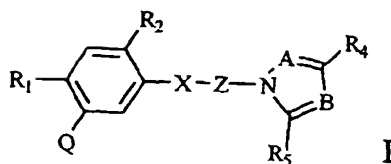
- 40 [0071] The compound to be evaluated was dissolved in an appropriate solvent, usually acetone, or the formulation of the evaluated compounds was added to the water, and sprayed over the flats or pots using a carrier volume equivalent to 187 or 468 liters per hectare at the rate of application in grams per hectare (g/ha). About two or four weeks after application of the test compounds, the state of the plant was observed. Each species was evaluated on a scale of 0-100 in which 0 equals no activity and 100 equals total control. Some of the test results are shown in Table 26.

Table 26

Compound	Type	g/ha	BID	NS	SMT	VEL
15	POST	150	60	100	40	100
43	POST	1200	100	100	100	100

55 Claims

1. A compound represented by formula I



wherein

R_1 is selected from H, F, Br, Cl, NO_2 and CN;

R_2 is selected from F, Cl, Br, H and CN;

R_3 is selected from H and CN; and alkyl, alkenyl, alkynyl, haloalkyl, cycloalkyl, cycloalkenyl, haloalkenyl, haloalkynyl, alkoxy, alkylthio, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, aryl, arylalkyl, heteroaryl and heteroarylalkyl, all of which may be further substituted;

R_4 and R_5 are each independently selected from H, halo and CN; and alkyl, cycloalkyl, haloalkyl, alkoxy, alkylthio, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, CO_2R_6 , CONR_6R_{13} , OR_6 , SR_6 , SO_2R_6 , NR_6R_{13} , $\text{SO}_2\text{NR}_6R_{13}$, aryl, arylalkyl, heteroaryl and heteroarylalkyl, all of which may be further substituted;

R_6 is selected from H, alkyl, cycloalkyl, alkoxy, alkylthio, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, aryl and arylalkyl, all of which may be further substituted;

R_7 is selected from H, alkyl, alkenyl, alkynyl, haloalkyl, cycloalkyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl and COR_9 , all of which may be further substituted;

R_8 is selected from alkyl, haloalkyl, cycloalkyl, cycloalkenyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, aryl and arylalkyl, all of which may be further substituted;

R_9 is selected from H, alkyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, alkenyl, alkynyl, haloalkyl and cycloalkyl, all of which may be further substituted;

R_{10} is selected from H, halo, NH_2 , alkyl, alkylsulfonylalkyl, alkylsulfinylalkyl, alkylsulfonylcycloalkyl, alkylsulfinylcycloalkyl, haloalkyl, CN, $\text{CO}_2(\text{alkyl})$, $\text{CONH}(\text{alkyl})$, $\text{CON}(\text{alkyl})_2$ wherein each alkyl may be the same or different, CH_2CN , $\text{CH}_2\text{CH}=\text{CH}_2$, $\text{CH}_2\text{C}=\text{CH}$, $\text{CH}_2\text{CO}_2(\text{alkyl})$, CH_2OCH_3 and CH_2 -1,2,4-triazole, all of which may be further substituted;

R_{11} is selected from H, CN, alkyl, haloalkyl and $\text{CO}_2(\text{alkyl})$;

R_{12} is selected from H, alkyl, CO_2R_6 , CONR_6R_{13} , OR_6 , SR_6 , SO_2R_6 , $\text{SO}_2\text{NR}_6R_{13}$ and NR_6R_{13} ;

R_{13} is H, alkyl, aryl or arylalkyl;

A is N or CH;

B is N or CR_{10} ;

Z is O, $\text{CH}(R_3)$, CO, CS, CONR_{12} or CSNR_{12} ;

X is selected from O, S, NR_{12} , CO_2 , $\text{OCH}(R_6)\text{CO}_2$, $\text{SCH}(R_6)\text{CO}_2$, $\text{CH}=\text{C}(\text{halo})\text{CO}_2$, $\text{CH}_2\text{CH}(\text{halo})\text{CO}_2$, CONH , $\text{OCH}(R_6)\text{CONH}$, $\text{SCH}(R_6)\text{CONH}$, $\text{CH}=\text{C}(\text{halo})\text{CONH}$ and $\text{CH}_2\text{CH}(\text{halo})\text{CONH}$ when Z is $\text{CH}(R_3)$;

X is selected from CO, $\text{OCH}(R_6)\text{CO}$, $\text{SCH}(R_6)\text{CO}$, $\text{CH}=\text{C}(\text{halo})\text{CO}$ and $\text{CH}_2\text{CH}(\text{halo})\text{CO}$ when Z is O;

X is selected from O, S, CO, $\text{OCH}(R_6)$, $\text{CH}=\text{C}(\text{halo})$, $\text{CH}_2\text{CH}(\text{halo})$, CONH , $\text{OCH}(R_6)\text{CONH}$, $\text{SCH}(R_6)\text{CONH}$, $\text{CH}=\text{C}(\text{halo})\text{CONH}$, $\text{CH}_2\text{CH}(\text{halo})\text{CONH}$ and NR_{12} when Z is CO, CS, CONR_{12} or CSNR_{12} ; and

Q is selected from NR_7COR_8 , Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16 wherein

Q1 is 4,5,6,7-tetrahydrophthalimid-2-yl,

Q2 is 5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-a]pyridin-3(2H)-one-1-yl,

Q3 is 5,6,7,8-tetrahydro-1H,3H-[1,3,4]thiadiazolo[3,5-a]pyridazineimin-1-yl, Q4 is 4,5,6,7-tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione-2-yl,

Q5 is 1,6,8-triazabicyclo[4,3,0]-nonane-7,9-dione-8-yl,

Q6 is 5-(1-methylethylidene)-2,4-oxazolidinedione-3-yl,

Q7 is 5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2(3H)-one-3-yl,

Q8 is 4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one-1-yl,

Q9 is 2-methyl-1,2,4-oxadiazolidine-3,5-dione-4-yl,

Q10 is 4-chloro-1-methyl-5-difluoromethoxy-1H-pyrazol-3-yl,

Q11 is 4-bromo-1-methyl-5-trifluoromethyl-1H-pyrazol-3-yl,
 Q12 is 1-substituted-6-trifluoromethyl-2,4-pyrimidione-3-yl,
 Q13 is 1-substituted-6-trifluoromethyl-1,3,5-triazine-2,4-dione-1-yl,
 Q14 is 4,5-disubstituted-4,5-dihydro-1,2,4-triazine-3(2H)-one-2-yl,
 Q15 is 4-substituted-1,2,4-triazine-3,5(2H,4H)-dione-2-yl and
 Q16 is 5-methyl-6-oxo-4-(trifluoromethyl)-6H-pyridazin-1-yl;

or the agronomically acceptable salts thereof.

2. The compound of claim 1 wherein

R₁ is selected from H, F, Br, Cl, NO₂ and CN;

R₂ is selected from F, Cl, Br, H and CN;

R₃ is selected from H, CN and halo; and (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl, all of which may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxalan-2-yl and nitro;

R₄ and R₅ are each independently selected from H, halo and CN; and (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, CO₂R₆, CONHR₆, CON((C₁-C₁₂)alkyl)R₆, OR₆, SR₆, SO₂R₆, NHR₆, N((C₁-C₁₂)alkyl)R₆, SO₂N((C₁-C₁₂)alkyl)R₆, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl, all of which may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-thoxalan-2-yl and nitro;

R₆ is selected from H, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl and aryl(C₁-C₁₂)alkyl;

R₇ is selected from H, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl and COR₉;

R₈ is selected from (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, cyclo(C₃-C₈)alkenyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl (C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, aryl and aryl(C₁-C₁₂)alkyl;

R₉ is selected from H, (C₁-C₁₂)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio and halocyclo(C₃-C₈)alkyl;

R₁₀ is selected from H, chloro, NH₂, (C₁-C₁₂)alkyl, halo(C₁-C₁₂)alkyl, CN, (C₁-C₁₂)alkylsulfonyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfinyl(C₁-C₁₂)alkyl, (C₁-C₁₂)alkylsulfonylcyclo(C₃-C₈)alkyl, (C₁-C₁₂)alkylsulfinylcyclo(C₃-C₈)alkyl, cyano(C₁-C₁₂)alkoxy, cyano(C₁-C₁₂)alkyl, cyanocyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkoxy, halo(C₁-C₁₂)alkylthio, halocyclo(C₃-C₈)alkyl, CO₂(C₁-C₁₂)alkyl, CONH(C₁-C₁₂)alkyl, CON((C₁-C₁₂)alkyl)₂, CH₂CN, CH₂CH=CH₂, CH₂C=CH, CH₂CO₂(C₁-C₁₂)alkyl,

- CH₂OCH₃, CH₂-1,2,4-triazole;
- R₁₁ is selected from H, CN, (C₁-C₁₂)alkyl, halo(C₁-C₁₂)alkyl and CO₂(C₁-C₁₂)alkyl;
- R₁₂ is selected from H, (C₁-C₁₂)alkyl, CO₂R₆, CON((C₁-C₁₂)alkyl)R₆, OR₆, SR₆, SO₂R₆, SO₂N((C₁-C₁₂)alkyl)R₁₃ and N((C₁-C₁₂)alkyl)R₁₃;
- 5 R₁₃ is H, (C₁-C₁₂)alkyl, aryl or aryl(C₁-C₁₂)alkyl;
- A is N or CH;
- B is N or CR₁₀;
- Z is O, CH(R₃), CO, CS, CONR₁₂ or CSNR₁₂;
- 10 X is selected from O, S, NR₁₂, CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH=C(Cl)CO₂, CH₂CH(Cl)CO₂, CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH and CH₂CH(Cl)CONH when Z is CH(R₃);
- X is selected from CO, OCH(R₆)CO, SCH(R₆)CO, CH=C(Cl)CO, CH₂CH(Cl)CO when Z is O;
- X is selected from O, S, CO, OCH(R₆), CH=C(Cl), CH₂CH(Cl), CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH, CH₂CH(Cl)CONH and NR₁₂ when Z is CO, CS, CONR₁₂ or CSNR₁₂;
- 15 Q is selected from NR₇COR₈, Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16;

or the agronomically acceptable salts thereof.

20 3. The compound of claim 2 wherein

- R₁ is H, F or Cl;
- R₂ is Cl;
- 25 R₃ is selected from H, bromo, chloro, fluoro, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, (C₂-C₆)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₆)alkynyl, halo(C₁-C₆)alkyl, halo(C₂-C₆)alkenyl, halo(C₂-C₆)alkynyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl wherein the aryl or heteroaryl group is selected from furan, naphthalene, phenyl, pyrazole, pyridine, pyrimidine, thiophene and triazole, said aryl and heteroaryl group may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxalan-2-yl and nitro;
- 30 R₄ and R₅ are each independently selected from H, bromo, chloro, fluoro, CN, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, CO₂R₆, CONHR₆, CON((C₁-C₁₂)alkyl)R₆, OR₆, SR₆, SO₂R₆, NHR₆, N((C₁-C₁₂)alkyl)R₆, SO₂N((C₁-C₁₂)alkyl)R₆, aryl, heteroaryl, aryl(C₁-C₁₂)alkyl and heteroaryl(C₂-C₁₂)alkyl, wherein the aryl or heteroaryl group is selected from furan, naphthalene, phenyl, pyrazole, pyridine, pyrimidine, thiophene and triazole, said aryl and heteroaryl group may be further substituted with from one to three substituents independently selected from bromo, chloro, fluoro, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, (C₂-C₁₂)alkenyl, cyclo(C₃-C₈)alkenyl, (C₂-C₁₂)alkynyl, halo(C₁-C₁₂)alkyl, halo(C₂-C₁₂)alkenyl, halo(C₂-C₁₂)alkynyl, (C₁-C₁₂)alkoxy, (C₁-C₁₂)alkylthio, (C₁-C₁₂)alkylsulfonyl, (C₁-C₁₂)alkylsulfinyl, phenyl, phen(C₁-C₁₂)alkyl, phen(C₂-C₁₂)alkenyl, phen(C₂-C₁₂)alkynyl, cyano, halo(C₁-C₁₂)alkoxy, 1,3-dioxalan-2-yl and nitro;
- 35 R₆ is selected from H, (C₁-C₁₂)alkyl, aryl and aryl(C₁-C₆)alkyl, where the aryl group is naphthyl or phenyl;
- 40 R₇ is selected from H, (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, halo(C₁-C₁₂)alkyl and COR₉;
- R₈ is selected from (C₁-C₁₂)alkyl, cyclo(C₃-C₈)alkyl, cyclo(C₃-C₈)alkenyl, halo(C₁-C₁₂)alkyl, aryl and aryl(C₁-C₆)alkyl;
- 45 R₉ is selected from H, (C₁-C₆)alkyl, (C₂-C₁₂)alkenyl, (C₂-C₆)alkenyl, cyclo(C₃-C₈)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₁₂)alkyl, halo(C₁-C₆)alkyl;
- 50 R₁₀ is selected from H, chloro, NH₂, (C₁-C₆)alkyl, halo(C₁-C₁₂)alkyl, halo(C₁-C₆)alkyl, CN, CO₂(C₁-C₁₂)alkyl, CONH(C₁-C₁₂)alkyl, CON((C₁-C₁₂)alkyl)₂, CH₂CN, CH₂CH=CH₂, CH₂C≡CH, CH₂CO₂(C₁-C₁₂)alkyl, CH₂OCH₃, CH₂-1,2,4-triazole;
- 55 R₁₁ is selected from H, CN, (C₁-C₆)alkyl, halo(C₁-C₁₂)alkyl, halo(C₁-C₆)alkyl and CO₂(C₁-C₁₂)alkyl;
- R₁₂ is selected from H, (C₁-C₆)alkyl, CO₂R₆, CON((C₁-C₈)alkyl)R₆, OR₆, SR₆, SO₂R₆, SO₂N((C₁-C₈)alkyl)R₁₃ and N((C₁-C₈)alkyl)R₁₃;
- R₁₃ is H, (C₁-C₈)alkyl, aryl or aryl(C₁-C₆)alkyl where the aryl group is naphthyl or phenyl;
- A is N or CH;

- B is N or CR₁₀;
 Z is O, CH(R₃), CO, CS, CONR₁₂ or CSNR₁₂;
 X is selected from O, S, NH, CO₂, OCH(R₆)CO₂, SCH(R₆)CO₂, CH=C(Cl)CO₂, CH₂CH(Cl)CO₂, CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH and CH₂CH(Cl)CONH when Z is CH(R₃);
 5 X is selected from CO, OCH(R₆)CO, SCH(R₆)CO, CH=C(Cl)CO and CH₂CH(Cl)CO when Z is O;
 X is selected from O, S, CO, OCH(R₆), CH=C(Cl), CH₂CH(Cl), CONH, OCH(R₆)CONH, SCH(R₆)CONH, CH=C(Cl)CONH, CH₂CH(Cl)CONH and NR₁₂ when Z is CO, CS, CONR₁₂ or CSNR₁₂;
 10 Q is NR₇COR₈, or selected from Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16;

or the agronomically acceptable salts thereof selected from those formed from hydrochloric acid, sulfuric acid, acetic acid, propionic acid, phosphoric acid and oxalic acid.

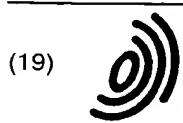
15 4. The compound of claim 3 wherein

- R₁ is H, F or Cl;
 R₂ is Cl;
 20 R₃ is selected from H, bromo, chloro, fluoro, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, (C₂-C₆)alkenyl, cyclo(C₃-C₆)alkenyl, (C₂-C₆)alkynyl, halo(C₁-C₆)alkyl, halo(C₂-C₆)alkenyl, halo(C₂-C₆)alkynyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, 3-furyl, 4-chloro-2-furyl, 5-chloro-2-furyl, 5-chloro-3-furyl, 2, 5-dichloro-3-furyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-thioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2, 5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl, 3,4,5-trifluorophenyl, 2-pyridyl, 4-chloro-2-pyridyl, 6-chloro-2-pyridyl, 4,6-dichloro-2-pyridyl, 3-pyridyl, 5-bromo-3-pyridyl, 5,6-dichloro-3-pyridyl, 5-chloro-3-pyridyl, 5-fluoro-3-pyridyl, 4-pyridyl, 2-fluoro-4-pyridyl, 2-chloro-4-pyridyl, 2-chloro-6-methyl-4-pyridyl, 2-methyl-4-pyridyl, 2-methoxy-4-pyridyl, 2-cyano-4-pyridyl, 2,6-difluoro-4-pyridyl, 2, 6-dichloro-4-pyridyl, 2-thienyl, 3-thienyl, 4-chloro-2-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl and 2,5-dichloro-3-thienyl;
 25 R₄ and R₅ are each independently selected from H, bromo, chloro, fluoro, CN, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₁-C₆)alkylthio, CO₂R₆, CONHR₆, CON((C₁-C₆)alkyl)R₆, OR₆, SR₆, SO₂R₆, NHR₆, 3-furyl, 4-chloro-2-furyl, 5-chloro-2-furyl, 5-chloro-3-furyl, 2,5-dichloro-3-furyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-thioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3, 5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl, 3,4,5-trifluorophenyl, 2-pyridyl, 4-chloro-2-pyridyl, 6-chloro-2-pyridyl, 4,6-dichloro-2-pyridyl, 3-pyridyl, 5-bromo-3-pyridyl, 5,6-dichloro-3-pyridyl, 5-chloro-3-pyridyl, 5-fluoro-3-pyridyl, 4-pyridyl, 2-fluoro-4-pyridyl, 2-chloro-4-pyridyl, 2-chloro-6-methyl-4-pyridyl, 2-methyl-4-pyridyl, 2-methoxy-4-pyridyl, 2-cyano-4-pyridyl, 2,6-difluoro-4-pyridyl, 2,6-dichloro-4-pyridyl, 2-thienyl, 3-thienyl, 4-chloro-2-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl and 2,5-dichloro-3-thienyl;
 30 R₆ is selected from H, (C₁-C₆)alkyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-dioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl and 3,4,5-trifluorophenyl;
 35 R₇ is selected from H, (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₆)alkyl and COR₆;
 R₈ is (C₁-C₆)alkyl, cyclo(C₅-C₆)alkyl, halo(C₁-C₁₂)alkyl, 1-naphthyl, 2-naphthyl, phenyl, 4-methylphenyl, 4-methoxyphenyl, 4-nitrophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 4-bromophenyl, 4-chlorophenyl, 3-fluorophenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3-(1,3-dioxolan-2-yl)phenyl, 2-fluorophenyl, 2-chlorophenyl, 2-trifluoromethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3-chloro-4-fluorophenyl, 3,4-difluorophenyl, 3-fluoro-5-trifluoromethylphenyl and 3,4,5-trifluorophenyl;
 40 R₉ is selected from H, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, cyclo(C₅-C₆)alkyl and halo(C₁-C₆)alkyl;

- R_{10} is selected from H, chloro, NH_2 , $(C_1-C_6)alkyl$, halo $(C_1-C_6)alkyl$, CN, $CO_2(C_1-C_6)alkyl$, $CONH(C_1-C_6)alkyl$, $CON((C_1-C_6)alkyl)_2$, CH_2CN , $CH_2CH=CH_2$, $CH_2C=CH$, $CH_2CO_2(C_1-C_6)alkyl$, CH_2OCH_3 and $CH_2-1,2,4-triazole$;
- R_{11} is H, CN, $(C_1-C_6)alkyl$, halo $(C_1-C_6)alkyl$ and $CO_2(C_1-C_6)alkyl$;
- R_{12} is selected from H, $(C_1-C_6)alkyl$, $CO_2(C_1-C_6)alkyl$, $CON((C_1-C_6)alkyl)_2$, $O(C_1-C_6)alkyl$, $S(C_1-C_6)alkyl$, $SO_2(C_1-C_6)alkyl$, $SO_2N((C_1-C_6)alkyl)_2$ and $N((C_1-C_6)alkyl)_2$;
- R_{13} is H, $(C_1-C_6)alkyl$, aryl or aryl $(C_1-C_4)alkyl$ where the aryl group is naphthyl or phenyl;
- A is N or CH;
- B is N or CR_{10} ;
- Z is O, $CH(R_3)$, CO, CS, $CONR_{12}$ or $CSNR_{12}$;
- X is selected from O, S, NH, CO_2 , $OCH(R_6)CO_2$, $SCH(R_6)CO_2$, $CH=C(Cl)CO_2$, $CH_2CH(Cl)CO_2$, $CONH$, $OCH(R_6)CONH$, $SCH(R_6)CONH$, $CH=C(Cl)CONH$ and $CH_2CH(Cl)CONH$ when Z is $CH(R_3)$;
- X is selected from CO, $OCH(R_6)CO$, $SCH(R_6)CO$, $CH=C(Cl)CO$ and $CH_2CH(Cl)CO$ when Z is O;
- X is selected from O, S, CO, $OCH(R_6)$, $CH=C(Cl)$, $CH_2CH(Cl)$, $CONH$, $OCH(R_6)CONH$, $SCH(R_6)CONH$, $CH=C(Cl)CONH$, $CH_2CH(Cl)CONH$ and NR_{12} when Z is CO, CS, $CONR_{12}$ or $CSNR_{12}$;
- Q is selected from Q1, Q2, Q3, Q4, Q5, Q6, Q7, Q8, Q9, Q10, Q11, Q12, Q13, Q14, Q15 and Q16;

or the agronomically acceptable salts thereof selected from those formed from hydrochloric acid, acetic acid, phosphoric acid and oxalic acid.

5. A herbicidal composition comprising a compound as in claim 1, 2, 3 or 4 and an agronomically acceptable carrier.
6. The composition of claim 5 which contains from about 0.1% to 99% by weight of said compound.
7. The composition of claim 6 further comprising a second pesticide or a fertilizer.
8. A method of controlling a weed comprising applying a herbicidally effective amount of a composition of claim 5 and an agronomically acceptable carrier to the weed, to the locus of the weed or to the growth medium of said weed.



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(54) **Substituted triazoles, imidazoles and pyrazoles as herbicides**

(57) The present invention relates to novel heterocyclic compounds and their agronomically suitable salts, methods for the use of these compounds in controlling unwanted plant species, and the use of herbicidal compositions containing these compounds. In particular, the present invention pertains to substituted and unsubstituted triazoles, imidazoles and pyrazoles linked to a heterocyclic substituted benzene group. Such compounds are useful as pre-emergent and post-emergent herbicides.

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EUROPEAN SEARCH REPORT

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<p>CATEGORY OF CITED DOCUMENTS</p> <p>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</p> <p>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons & : member of the same patent family, corresponding document</p>			

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